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NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 FEB 27 New STN AnaVist pricing effective March 1, 2006  
NEWS 4 MAY 10 CA/CAplus enhanced with 1900-1906 U.S. patent records  
NEWS 5 MAY 11 KOREAPAT updates resume  
NEWS 6 MAY 19 Derwent World Patents Index to be reloaded and enhanced.  
NEWS 7 MAY 30 IFC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2  
NEWS 8 MAY 30 The F-Term thesaurus is now available in CA/CAplus  
NEWS 9 JUN 02 The first reclassification of IPC codes now complete in INPADOC  
NEWS 10 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and display fields  
NEWS 11 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL  
NEWS 12 JUL 11 CHEMSAFE reloaded and enhanced  
NEWS 13 JUL 14 FSTA enhanced with Japanese patents  
NEWS 14 JUL 19 Coverage of Research Disclosure reinstated in DWPI  
NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive  
NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced  
NEWS 17 AUG 30 CA(SM)/CAplus(SM) Austrian patent law changes  
NEWS 18 SEP 11 CA/CAplus enhanced with more pre-1907 records  
NEWS 19 SEP 21 CA/CAplus fields enhanced with simultaneous left and right truncation  
  
NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.  
  
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NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8  
NEWS X25 X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 13:10:16 ON 25 SEP 2006

=> FILE REG

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY      SESSION  
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STRUCTURE FILE UPDATES: 24 SEP 2006 HIGHEST RN 908332-13-8  
DICTIONARY FILE UPDATES: 24 SEP 2006 HIGHEST RN 908332-13-8

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=>  
Uploading C:\Program Files\Stnexp\Queries\517,294-R1-STR-Olsen et al.str

L1      STRUCTURE uploaded

=> D L1  
L1 HAS NO ANSWERS  
L1      STR  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> S L1 SSS SAM  
SAMPLE SEARCH INITIATED 13:11:19 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED -            30 TO ITERATE

100.0% PROCESSED            30 ITERATIONS                                  17 ANSWERS  
SEARCH TIME: 00.00.01

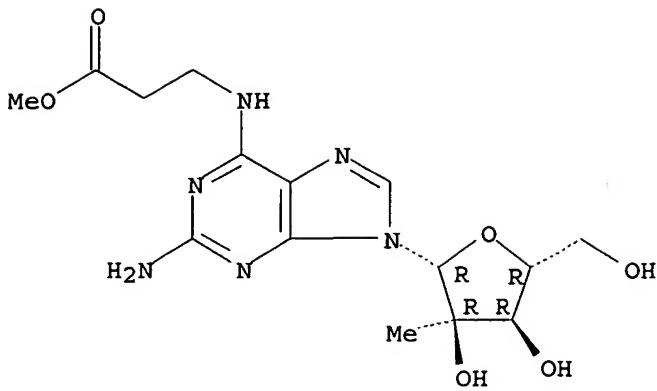
FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*  
                              BATCH     \*\*COMPLETE\*\*  
PROJECTED ITERATIONS:      272 TO      928  
PROJECTED ANSWERS:          93 TO      587

L2      17 SEA SSS SAM L1

=> D SCAN

L2    17 ANSWERS    REGISTRY    COPYRIGHT 2006 ACS on STN  
IN    β-Alanine, N-[2-amino-9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]-, methyl ester (9CI)  
MF    C15 H22 N6 O6

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):N

=> S L1 SSS FULL  
 FULL SEARCH INITIATED 13:11:56 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 557 TO ITERATE

100.0% PROCESSED 557 ITERATIONS 296 ANSWERS  
 SEARCH TIME: 00.00.01

L3 296 SEA SSS FUL L1

=> FILE CAPLUS	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	167.82	168.03

FILE 'CAPLUS' ENTERED AT 13:12:15 ON 25 SEP 2006  
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(FILE 'HOME' ENTERED AT 13:10:16 ON 25 SEP 2006)

FILE 'REGISTRY' ENTERED AT 13:10:34 ON 25 SEP 2006  
L1 STRUCTURE UPLOADED  
L2 17 S L1 SSS SAM  
L3 296 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:12:15 ON 25 SEP 2006

=> S L1  
REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 13:12:36 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 30 TO ITERATE

100.0% PROCESSED 30 ITERATIONS 17 ANSWERS  
SEARCH TIME: 00.00.01  
  
FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 272 TO 928  
PROJECTED ANSWERS: 93 TO 587

L4 17 SEA SSS SAM L1

L5 20 L4

=> S L3  
L6 98 L3

=> D L5 ed ibib abs hitstr 1-20

L5 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 20 Apr 2006  
ACCESSION NUMBER: 2006:357151 CAPLUS  
DOCUMENT NUMBER: 145:46235  
TITLE: Efficient Synthesis of 2'-C-β-Methylguanosine  
Li, Nan-Sheng; Piccirilli, Joseph A.  
AUTHOR(S): Howard Hughes Medical Institute, Department of  
CORPORATE SOURCE: Biochemistry Molecular Biology and Department of  
Chemistry, The University of Chicago, Chicago, IL,  
60637, USA  
SOURCE: Journal of Organic Chemistry (2006), 71(10), 4018-4020  
CODEN: JOCEAH; ISSN: 0022-3263  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 145:46235  
AB 2'-β-Me nucleosides have potential value as therapeutic agents and as  
nucleoside analogs for exploring RNA biol. Here we develop a strategy for  
efficient synthesis for 2'-C-β-methylguanosine (3). Starting from  
1,2,3,5-tetra-O-benzoyl-2-C-β-methyl-D-ribofuranose (1) and  
N2-acetylguanine, we obtained the title compound in two steps (78% overall  
yield) with high stereoselectivity ( $\beta/\alpha > 99:1$ ) and high  
regioselectivity ( $N9/N7 > 99:1$ ). Extension of this strategy to the  
classic synthesis of guanosine also resulted in high stereoselectivity  
( $\beta/\alpha = 99:1$ ) and improved regioselectivity ( $N9/N7 = 97:3$ ).  
IT 890131-90-5P

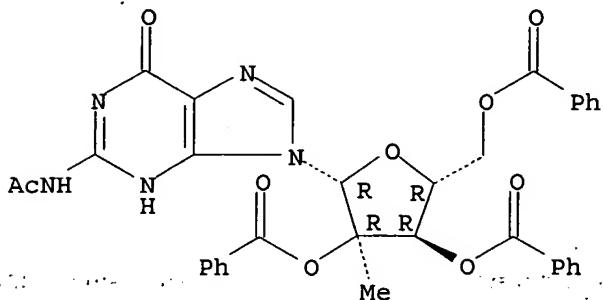
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of 2'-C- $\beta$ -methylguanosine via stereoselective and regioselective coupling reaction of N2-acetylguanine with 2-C- $\beta$ -methyl-D-ribofuranose)

RN 890131-90-5 CAPLUS

CN Guanosine, N-acetyl-2'-C-methyl-, 2',3',5'-tribenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2006 ACS ON STN  
ED Entered STN: 11 Mar 2005 490 New  
ACCESSION NUMBER: 2005:216597 CAPLUS  
DOCUMENT NUMBER: 142:291323  
TITLE: Compositions and methods for the treatment of severe acute respiratory syndrome (SARS)  
INVENTOR(S): Hardee, Greg; Dellamary, Luis  
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA  
SOURCE: PCT Int. Appl., 217 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020885	A2	20050310	WO 2004-US16196	20040521
WO 2005020885	A3	20050804		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2003-472774P P 20030521

AB The invention provides compns. and methods for treating a coronavirus infection, especially a SARS CoV infection. The compns. comprise an antiviral nucleoside or mimetic thereof, or an antiviral antisense agent, in a form suitable for pulmonary or nasal delivery. The methods comprise administration to a patient in need thereof the effective amount of an antiviral composition by pulmonary or nasal instillation.

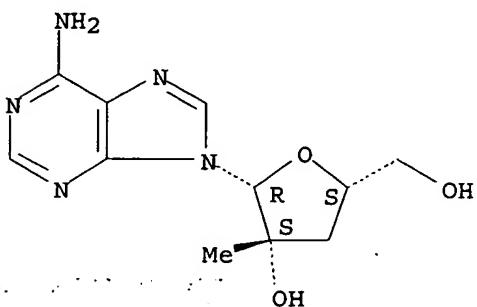
IT 109923-62-8 374750-29-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(compns. and methods for treatment of severe acute respiratory  
syndrome)

RN 109923-62-8 CAPLUS

CN 9H-Purin-6-amine, 9-(3-deoxy-2-C-methyl-β-D-threo-pentofuranosyl)-  
(9CI) (CA INDEX NAME)

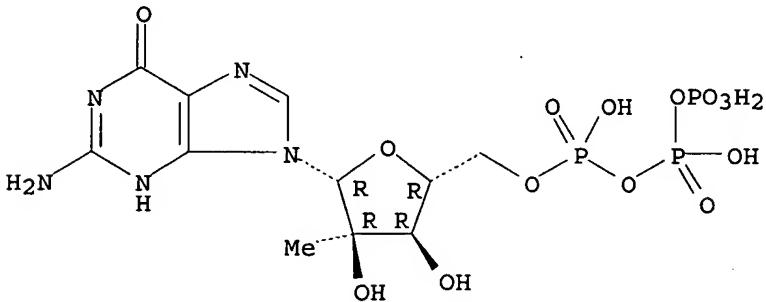
Absolute stereochemistry.



RN 374750-29-5 CAPLUS

CN Guanosine 5'- (tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.



L5 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 22 Feb 2005

ACCESSION NUMBER: 2005:150037 CAPLUS

DOCUMENT NUMBER: 142:348134

TITLE: Synthesis, conformational analysis, and biological  
activity of new analogues of thiazole-4-carboxamide  
adenine dinucleotide (TAD) as IMP dehydrogenase  
inhibitors

AUTHOR(S): Franchetti, Palmarisa; Cappellacci, Loredana;  
Pasqualini, Michela; Petrelli, Riccardo; Jayaprakasan,  
Vetrichelvan; Jayaram, Hiremagalur N.; Boyd, Donald  
B.; Jain, Manojkumar D.; Grifantini, Mario  
Dipartimento di Scienze Chimiche, Universita di  
Camerino, Camerino, 62032, Italy

SOURCE: Bioorganic & Medicinal Chemistry (2005), 13(6),  
2045-2053

PUBLISHER: CODEN: BMECEP; ISSN: 0968-0896

DOCUMENT TYPE: Elsevier Ltd.

LANGUAGE: Journal

LANGUAGE: English

ANSWER 3 OF 20

OTHER SOURCE(S) : CASREACT 142:348134  
AB Thiazole-4-carboxamide adenine dinucleotide (TAD) analogs T-2'-MeAD (1) and T-3'-MeAD (2) containing, resp., a Me group at the ribose 2'-C-, and 3'-C-position of the adenosine moiety, were prepared as potential selective human inosine monophosphate dehydrogenase (IMPDH) type II inhibitors. The synthesis of heterodinucleotides was carried out by CDI-catalyzed coupling reaction of unprotected 2'-C-methyl- or 3'-C-methyl-AMP with 2',3'-O-isopropylidene-tiazofurin 5'-monophosphate, and then deisopropylidenation. Biol. evaluation of dinucleotides 1 and 2 as inhibitors of recombinant human IMPDH type I and type II resulted in a good activity. Inhibition of both isoenzymes by T-2'-MeAD and T-3'-MeAD was noncompetitive with respect to NAD substrate. Binding of T-3'-MeAD was comparable to that of parent compound TAD, while T-2'-MeAD proved to be a weaker inhibitor. However, no significant difference was found in inhibition of the IMPDH isoenzymes. T-2'-MeAD and T-3'-MeAD were found to inhibit the growth of K562 cells ( $IC_{50}$  30.7 and 65.0  $\mu M$ , resp.).

IT 867258-93-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

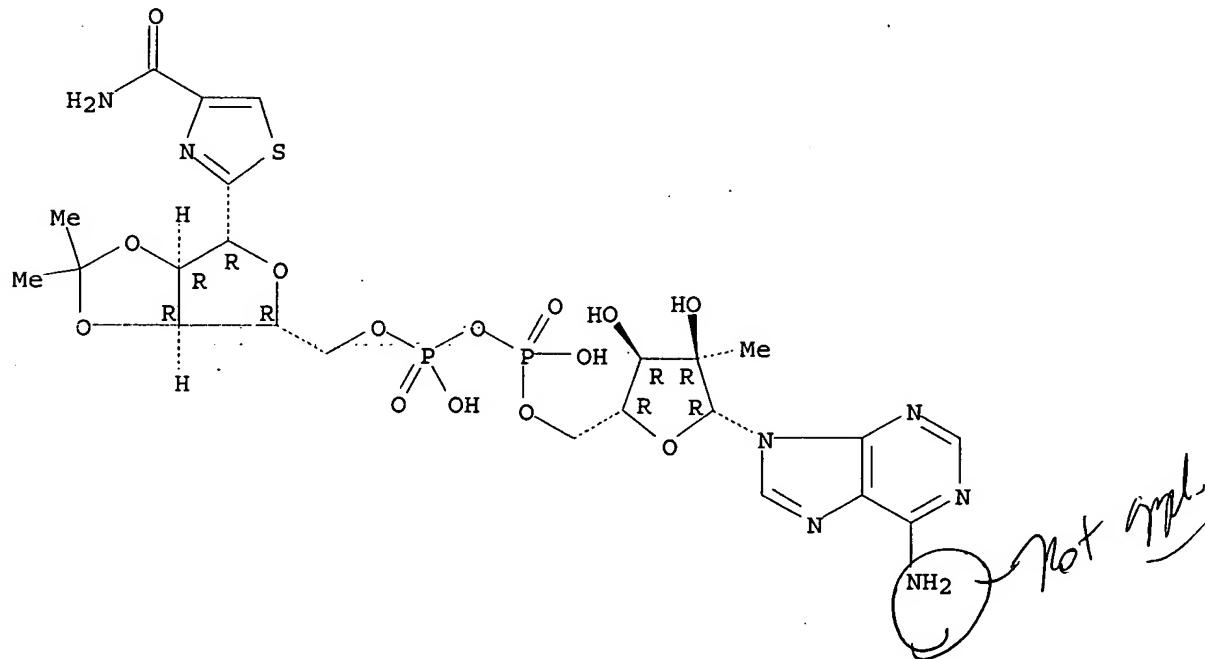
(synthesis, conformational anal., and biol. activity of new analogs of thiazole-4-carboxamide adenine dinucleotide (TAD) as IMP dehydrogenase inhibitors)

RN 867258-93-3 CAPLUS

CN Adenosine 5'-(trihydrogen diphosphate), 2'-C-methyl-, P' $\rightarrow$ 5'-ester with 2-[2,3-O-(1-methylethylidene)- $\beta$ -D-ribofuranosyl]-4-thiazolecarboxamide, diammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

● 2 NH<sub>3</sub>

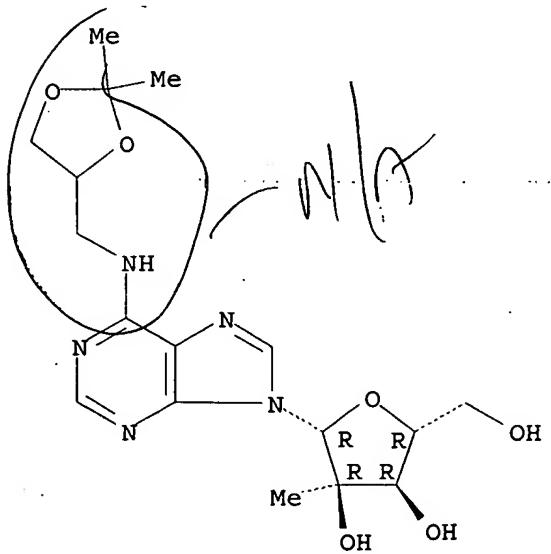
REFERENCE COUNT:

48

THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

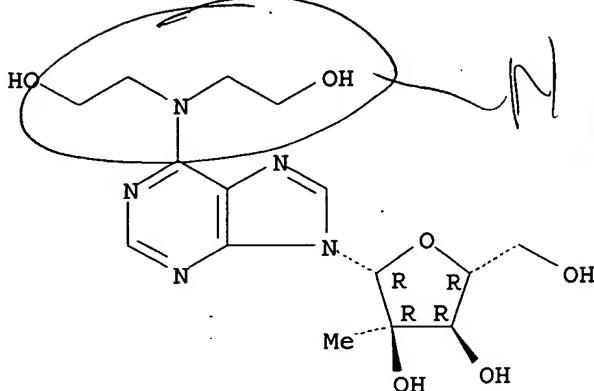
L5 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 28 Jan 2005  
 ACCESSION NUMBER: 2005:74688 CAPLUS  
 DOCUMENT NUMBER: 142:336573  
 TITLE: Synthesis of 9-(2- $\beta$ -C-methyl- $\beta$ -D-ribofuranosyl)-6-substituted purine derivatives as inhibitors of HCV RNA replication  
 AUTHOR(S): Ding, Yili; Girardet, Jean-Luc; Hong, Zhi; Lai, Vicky C. H.; An, Haoyun; Koh, Yung-hyo; Shaw, Stephanie Z.; Zhong, Weidong  
 CORPORATE SOURCE: Valeant Pharmaceuticals International, Costa Mesa, CA, 92626, USA  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(3), 709-713  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB A series of 9-(2'- $\beta$ -C-methyl- $\beta$ -D-ribofuranosyl)-6-substituted purine derivs. were synthesized as potential inhibitors of HCV RNA replication. Their inhibitory activities in a cell based HCV replicon assay were reported. A prodrug approach was used to further improve the potency of these compds. by increasing the intracellular levels of 5'-monophosphate metabolites. These nucleotide prodrugs showed much improved inhibitory activities of HCV RNA replication.  
 IT 565435-07-6P 565435-09-8P  
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (synthesis of 9-(2- $\beta$ -C-methyl- $\beta$ -D-ribofuranosyl)-6-substituted purine derivs. as inhibitors of HCV RNA replication)  
 RN 565435-07-6 CAPLUS  
 CN Adenosine, N-[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 565435-09-8 CAPLUS  
 CN Adenosine, N,N-bis(2-hydroxyethyl)-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 18 Oct 2004

ACCESSION NUMBER: 2004:848340 CAPLUS

DOCUMENT NUMBER: 142:226

TITLE: A 7-deaza-adenosine analog is a potent and selective inhibitor of hepatitis C virus replication with excellent pharmacokinetic properties

AUTHOR(S): Olsen, David B.; Eldrup, Anne B.; Bartholomew, Linda; Bhat, Balkrishen; Bosserman, Michele R.; Ceccacci, Alessandra; Colwell, Lawrence F.; Fay, John F.; Flores, Osvaldo A.; Getty, Krista L.; Grobler, Jay A.; LaFemina, Robert L.; Markel, Eric J.; Migliaccio, Giovanni; Prhavc, Marija; Stahlhut, Mark W.; Tomassini, Joanne E.; MacCoss, Malcolm; Hazuda, Daria J.; Carroll, Steven S.

CORPORATE SOURCE: Department of Biological Chemistry, Merck Research Laboratories, West Point, PA, USA

SOURCE: Antimicrobial Agents and Chemotherapy (2004), 48(10), 3944-3953

CODEN: AMACQ; ISSN: 0066-4804

PUBLISHER: American Society for Microbiology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Improved treatments for chronic hepatitis C virus (HCV) infection are needed due to the suboptimal response rates and deleterious side effects associated with current treatment options. The triphosphates of 2'-C-methyl-adenosine and 2'-C-methyl-guanosine were previously shown to be potent inhibitors of the HCV RNA-dependent RNA polymerase (RdRp) that is responsible for the replication of viral RNA in cells. Here we demonstrate that the inclusion of a 7-deaza modification in a series of purine nucleoside triphosphates results in an increase in inhibitory potency against the HCV RdRp and improved pharmacokinetic properties. Notably, incorporation of the 7-deaza modification into 2'-C-methyl-adenosine results in an inhibitor with a 20-fold-increased potency as the 5'-triphosphate in HCV RdRp assays while maintaining the inhibitory potency of the nucleoside in the bicistronic HCV replicon and with reduced cellular toxicity. In contrast, while 7-deaza-2'-C-methyl-GTP also displays enhanced inhibitory potency in enzyme assays, due to poor cellular penetration and/or metabolism, the nucleoside does not inhibit replication of a bicistronic HCV replicon in cell culture.

7-Deaza-2'-C-methyl-adenosine displays promising in vivo pharmacokinetics in three animal species, as well as an acute oral LD<sub>50</sub> in excess of 2,000 mg/kg of body weight in mice. Taken together, these data demonstrate that 7-deaza-2'-C-methyl-adenosine is an attractive candidate for further investigation as a potential treatment for HCV infection.

IT 374750-29-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

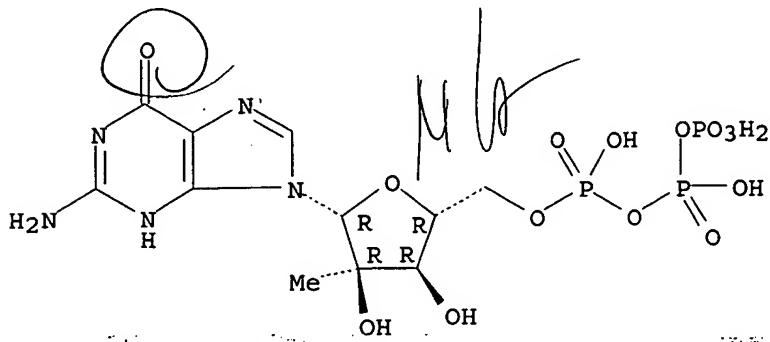
(Biological study); USES (Uses)

(a 7-deaza-adenosine analog is a potent and selective inhibitor of hepatitis C virus replication with excellent pharmacokinetic properties)

RN 374750-29-5 CAPLUS

CN Guanosine 5'- (tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 06 Aug 2004

ACCESSION NUMBER: 2004:633938 CAPLUS

DOCUMENT NUMBER: 141:157387

TITLE: Synthesis and use of 2'-substituted-N6-modified nucleosides as antiviral agents

INVENTOR(S): An, Haoyun; Ramasamy, Kanda; Shaw, Stephanie

PATENT ASSIGNEE(S): Ribapharm Inc., USA

SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

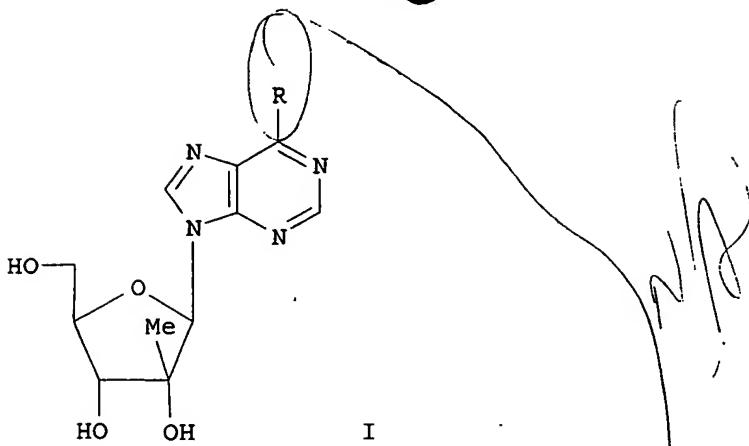
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065398	A2	20040805	WO 2004-US1125	20040115
WO 2004065398	A3	20050303		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI			
US 2006135465	A1	20060622	US 2006-542235	20060123
PRIORITY APPLN. INFO.:			US 2003-440666P	P 20030115
			WO 2004-US1125	W 20040115
OTHER SOURCE(S): GI	CASREACT 141:157387; MARPAT 141:157387			



AB An improved method of preparing a sugar modified nucleoside analog I, wherein R is selected from the group consisting of NH<sub>2</sub>NH<sub>2</sub>, N(CH<sub>3</sub>)NH<sub>2</sub>, N(CH<sub>2</sub>CH<sub>3</sub>)NH<sub>2</sub>, N(CH<sub>3</sub>)OH, NHOH, NHOCH<sub>3</sub>, NHOCH<sub>2</sub>CH<sub>3</sub>, NNH(CH<sub>3</sub>)<sub>2</sub>, N(CH<sub>3</sub>)NHCH<sub>3</sub>, NNHHCH<sub>3</sub>, NNHCOCH<sub>3</sub>, and NNHC<sub>2</sub>CH<sub>3</sub>, includes a protocol in which a hydroxy group of a sugar is selectively deprotected and oxidized prior to nucleophilic modification of the corresponding carbonyl group. The modified sugar is then coupled to a heterocyclic base that is modified with a dual nucleophilic reagent in a further step that provides N<sup>6</sup>-modified adenosine analogs with high stereoselectivity. Contemplated antiviral and immunomodulatory activities of title nucleosides are reported (no data). Thus, I [R = N(Me)NH<sub>2</sub>] was prepared from 2-iodo-benzoic acid via stereoselective glycosylation with 6-chloropurine.

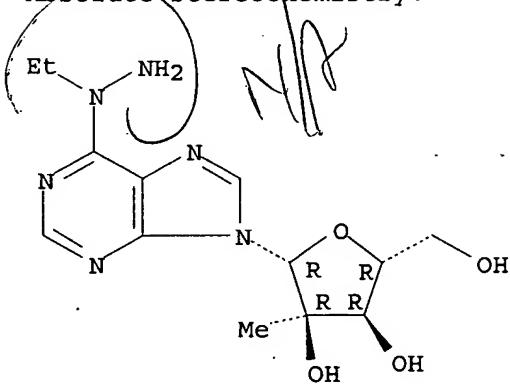
IT 728022-78-4P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(synthesis and use of 2'-substituted-N<sup>6</sup>-modified nucleosides as antiviral agents via stereoselective glycosylation)

RN 728022-78-4 CAPLUS

CN 9H-Purine, 6-(1-ethylhydrazino)-9-(2-C-methyl-β-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 11 Jan 2004

ACCESSION NUMBER: 2004:20801 CAPLUS

DOCUMENT NUMBER: 140:70987

TITLE: Nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase

INVENTOR(S): Olsen, David B.; MacCoss, Malcolm; Bhat, Balkrishen; EIdrup, Anne B.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 42 pp.

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

CODEN: PIXXD2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004003138	A2	20040108	WO 2003-US19776	20030623
W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2488484	AA	20040108	CA 2003-2488484	20030623
AU 2003269892	A1	20040119	AU 2003-269892	20030623
EP 1572945	A2	20050914	EP 2003-751779	20030623
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006512288	T2	20060413	JP 2004-517749	20030623
PRIORITY APPLN. INFO.:			US 2002-392438P	P 20020627
			WO 2003-US19776	W 20030623

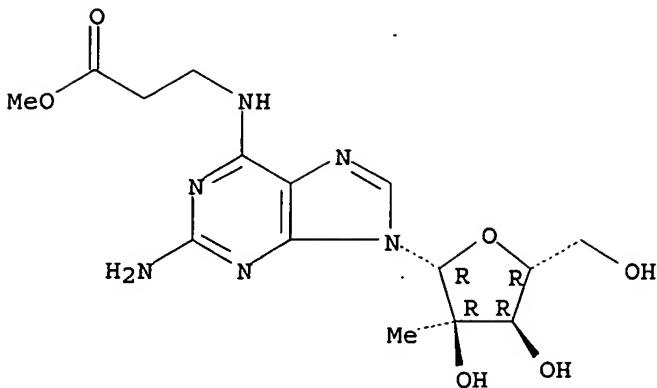
OTHER SOURCE(S): MARPAT 140:70987

AB The invention provides nucleoside compds. and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the invention. Preparation of nucleoside derivs. is included.

IT 641571-39-3P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(nucleoside derivs. as inhibitors of RNA-dependent RNA viral polymerase)

RN 641571-39-3 CAPLUS  
CN β-Alanine, N-[2-amino-9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]-, methyl ester (9CI) (CA INDEX NAME)

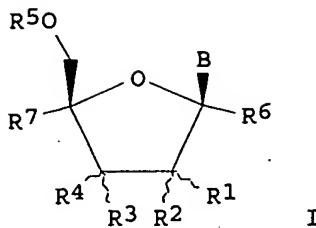
Absolute stereochemistry.



L5 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 02 Jan 2004  
 ACCESSION NUMBER: 2004:2898 CAPLUS  
 DOCUMENT NUMBER: 140:42424  
 TITLE: Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase  
 INVENTOR(S): Carroll, Steven S.; Olsen, David B.; Durette, Philippe L.; Bhat, Balkrishen; Dande, Prasad; Eldrup, Anne B.  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.  
 SOURCE: PCT Int. Appl., 43 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000858	A2	20031231	WO 2003-US19172	20030617
WO 2004000858	A3	20050512		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488534	AA	20031231	CA 2003-2488534	20030617
AU 2003269890	A1	20040106	AU 2003-269890	20030617
EP 1551421	A2	20050713	EP 2003-751777	20030617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005530843	T2	20051013	JP 2004-515870	20030617
PRIORITY APPLN. INFO.:			US 2002-3905792	P 20020621
OTHER SOURCE(S): GI			WO 2003-US19172	W 20030617

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 part 1151  
 part 1152  
 part 1153  
 part 1154  
 part 1155  
 part 1156  
 part 1157  
 part 1158  
 part 1159  
 part 1160  
 part 1161  
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 part 1193  
 part 1194  
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 part 1196  
 part 1197  
 part 1198<br



**AB** The present invention provides nucleoside compds. I, wherein B is nucleobase; R1 is fluoromethyl, difluoromethyl, trifluoromethyl; R2 is H, F, amino, OH, SH, alkoxy, alkylcarbonyloxy, alkyl; R3 and R4 are independently H, Cn, N3, halogen, OH, SH, amino, alkoxy, alkylcarconyloxy, alkenyl, alkynyl; R5 is H, alkylcarbonyl, P3O9H4, P2O6H3, phosphophonyl; R6 and R7 independently H, Me, hydroxymethyl, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase; as inhibitors of HCV replication; and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 2-amino-9-(2-C-fluoromethyl-β-D-ribofuranosyl)-3,9-dihydropurin-6-one was prepared and tested as inhibitor of RNA-dependent RNA viral polymerase. Title compds. tested in the HCV NS5B polymerase assay exhibited IC50's less than 100 μmol.

**IT** 636581-99-2P

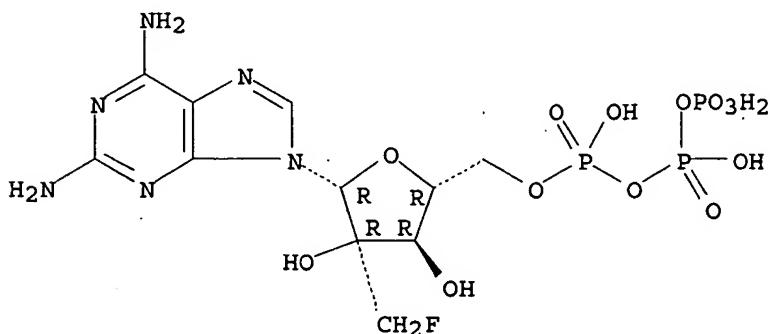
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside derivs. as inhibitors of RNA-dependent RNA viral polymerase)

**RN** 636581-99-2 CAPLUS

**CN** Adenosine 5'- (tetrahydrogen triphosphate), 2-amino-2'-C- (fluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



**L5** ANSWER 9 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

**ED** Entered STN: 14 Nov 2003

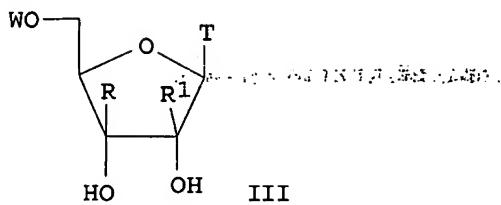
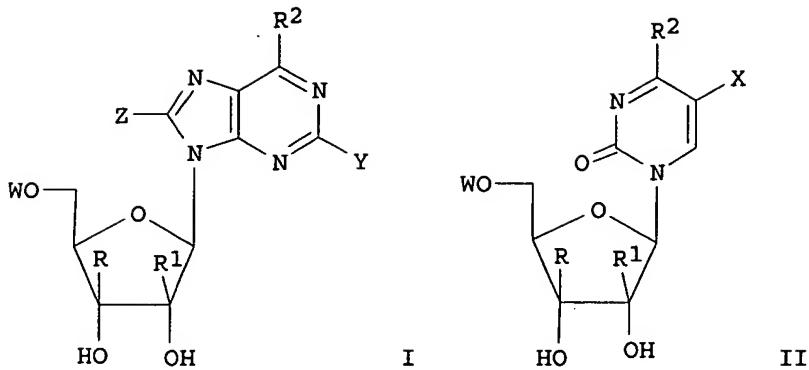
**ACCESSION NUMBER:** 2003:892793 CAPLUS

**DOCUMENT NUMBER:** 139:365176

TITLE: Preparation of nucleoside derivatives for treating hepatitis C virus infection  
 INVENTOR(S): Roberts, Christopher Don; Dyatkina, Natalia B.;  
                   Keicher, Jesse D.; Liehr, Sebastian Johannes Reinhard;  
                   Hanson, Eric Jason  
 PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA  
 SOURCE: PCT Int. Appl., 182 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION: *1/N final*

PATENT NO	KIND	DATE	APPLICATION NO.	DATE
WO 2003093290	A2	20031113	WO 2003-US14237	20030506
WO 2003093290	A3	20040318		
WO 2003093290	C1	20050519		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2484921	AA	20031113	CA 2003-2484921	20030506
AU 2003232071	A1	20031117	AU 2003-232071	20030506
US 2004063658	A1	20040401	US 2003-431631	20030506
EP 1501850	A2	20050202	EP 2003-747674	20030506
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2003009581	A	20050329	BR 2003-9581	20030506
CN 1653077	A	20050810	CN 2003-810239	20030506
JP 2005530759	T2	20051013	JP 2004-501429	20030506
NO 2004005247	A	20041130	NO 2004-5247	20041130
PRIORITY APPLN. INFO.:				
US 2002-378624P P 20020506				
US 2002-392871P P 20020628				
WO 2003-US14237 W 20030506				

OTHER SOURCE(S): MARPAT 139:365176  
 GI



**AB** Nucleosides I-III, wherein R and R1 are independently H, alkyl, alkenyl, alkynyl, provided that R and R1 are not both H; R2 is alkyl, cycloalkyl, alkenyl, alkynyl, acylamino, guanidino, amidino, thioacylamino, OH, alkoxy, halo, nitro, aryl, heteroaryl, substituted amine; W is H, phosphate, phosphonate, acyl, alkyl, sulfonate, lipid, amino acid, sugar residue, peptide, cholesterol; X is H, halo, alkyl, substituted amine; Y is H, halo, OH, alkylthio, substituted amine; Z is H, halo, OH, alkyl, substituted amine; T is nucleobase, were prepared as HCV RNA polymerase inhibitors and for treating hepatitis C virus infections. Thus, 2-(4-amino-pyrrolo[3,2-c]pyridin-1-yl)-5-hydroxymethyl-3-methyltetrahydrofuran-3,4-diol was prepared for treating hepatitis C virus infections (no data). Different kind of formulation such as tablet, capsule, suspension, injectable, and suppository formulation are reported.

**IT** 622380-71-6P

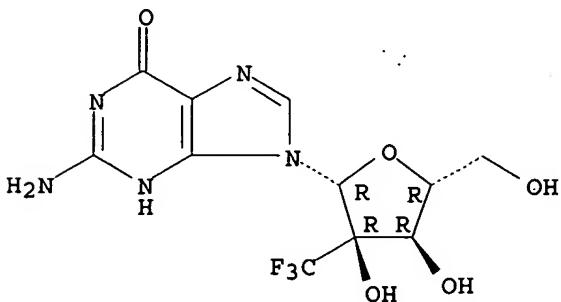
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside derivs. for treating hepatitis C virus infection)

**RN** 622380-71-6 CAPLUS

**CN** Guanosine, 2'-C-(trifluoromethyl)- (9CI) (CA INDEX NAME)

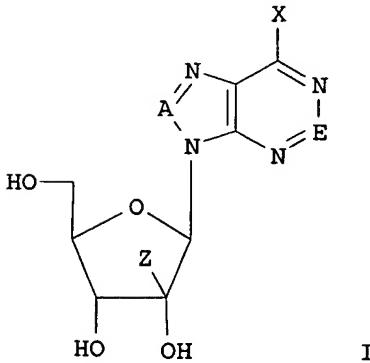
Absolute stereochemistry.



L5 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 01 Aug 2003  
ACCESSION NUMBER: 2003:591196 CAPLUS  
DOCUMENT NUMBER: 139:133790  
TITLE: Preparation of 2'- $\beta$ -modified-6-substituted  
adenosine analogs and their use as antiviral agents  
INVENTOR(S): An, Haoyun; Ding, Yili; Shaw, Stephanie; Hong, Zhi  
PATENT ASSIGNEE(S): Ribapharm Inc., USA  
SOURCE: PCT Int. Appl., 45 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 4  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062256	A1	20030731	WO 2002-US34026	20021023
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2006183706	A1	20060817	US 2006-530627	20060227
PRIORITY APPLN. INFO.:			US 2002-350296P	P 20020117
			WO 2002-US34026	W 20021023

OTHER SOURCE(S): MARPAT 139:133790  
GI



AB Various 2'-beta-methyl-6-substituted adenosine analogs I in which Z is selected from the group consisting of an alkyl, an O-alkyl, an alkenyl, an alkynyl, and CN, wherein the alkyl, the alkenyl, or the alkynyl is optionally substituted with a halogen or OH; A is CH or N, and E is C-R6 or N, such that (1) when A is CH then E is C-R6 or N, and (2) when A is N then E is CH; X is NR1R2, NR2NR3R4, NR2N=NR3, NR2N=CHR3, NR2N=O, NR2C(=O)NR3R4, NR2C(=S)NR3R4, NR2C(=NH)NR3R4, NR1C(=O)NR2NR3R4, NR2OR3, ONHC(O)O-alkyl, ONHC(O)O-aryl, ONR3R4, SNR1R2, SONR1R2, or S(O)2NR1R2; wherein R1-R4 are independently H, alkyl, substituted alkyl, O-alkyl, cyclic alkyl, heterocyclic alkyl, alkoxy, alkaryl, aryl, heterocyclic

aryl, substituted aryl, acyl, substituted acyl, S(O)2-alkyl, NO, NH2, or OH; and R6 is H, NH2, halogen, N3, NHR1, NHCOR1 NR1R2, NSO2R1, NHCONHR1, NHCSNHR1, CH2NHR1, CHR1NHR2, NHNH2, CN, alkyl, alkenyl, alkynyl, CH2-aryl, CH2-heterocycle, halogen, OH, or SH; are prepared by conventional and combinatorial library approaches. Contemplated compds. are particularly useful as therapeutic agents, and especially as antiviral agents. Thus, N6-[3-(methylthio)phenyl]-9H-(2'- $\beta$ -C-methyl- $\beta$ -D-ribofuranosyl)adenine was prepared and tested in vitro as antiviral agent against influenza virus A, bovine viral diarrhea virus, Hepatitis B virus, HIV-1 virus and human Rhinovirus.

IT 565435-07-6P

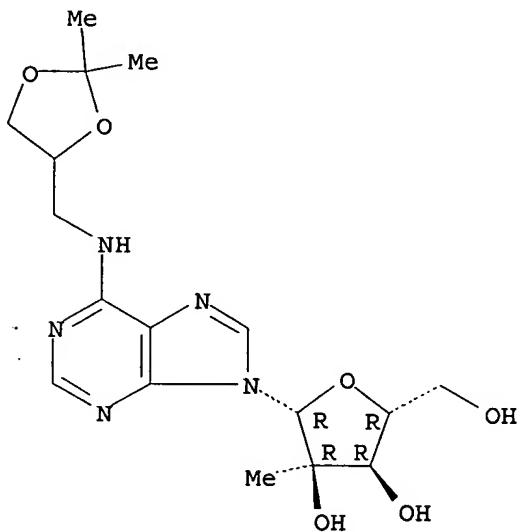
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(preparation of 2'- $\beta$ -modified-6-substituted adenosine analogs and their use as antiviral agents)

RN 565435-07-6 CAPLUS

CN Adenosine, N-[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]-2'-C-methyl- (9CI) (CA INDEX NAME)

#### Absolute stereochemistry.



IT 565435-09-8

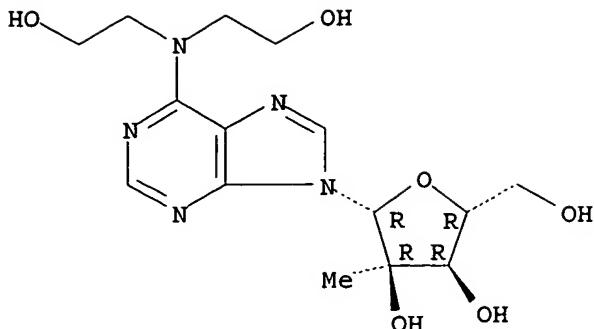
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of 2'- $\beta$ -modified-6-substituted adenosine analogs and their use as antiviral agents)

RN 565435-09-8 CAPLUS

CN Adenosine, N,N-bis(2-hydroxyethyl)-2'-C-methyl- (9CI) (CA INDEX NAME)

#### Absolute stereochemistry.



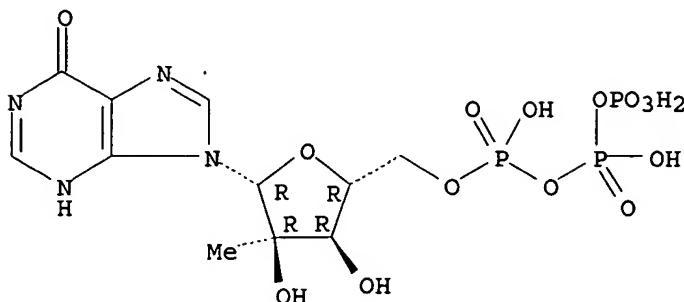
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 22 Oct 2002  
 ACCESSION NUMBER: 2002:799278 CAPLUS *Tsu New* *Amp*  
 DOCUMENT NUMBER: 138:21277  
 TITLE: Synthesis of Nucleotide Analogues That Potently and Selectively Inhibit Human DNA Primase  
 AUTHOR(S): Moore, Chad L.; Chiaramonte, Molly; Higgins, Tamara; Kuchta, Robert D.  
 CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Colorado, Boulder, CO, 80309, USA  
 SOURCE: Biochemistry (2002), 41(47), 14066-14075  
 CODEN: BICHAW; ISSN: 0006-2960  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 138:21277  
 AB DNA primase synthesizes short RNA oligonucleotides that DNA polymerase  $\alpha$  further elongates in order to initiate the synthesis of all new DNA strands during eukaryotic DNA replication. To develop potent and specific primase inhibitors, we combined 2'-modified sugars with bases incapable of normal Watson-Crick hydrogen bonding. The presence of a 2'-hydroxyl in either the ara or ribo configuration greatly enhances the ability of primase to polymerize a nucleotide. Further modifying the 2'-position by including both a hydroxyl and Me group at this position greatly reduced the ability of primase to polymerize the resulting nucleotides. Replacing the base of the NTP with analogs incapable of normal Watson-Crick hydrogen bonding (benzimidazole, nitrobenzimidazole, and dichlorobenzimidazole) resulted in compds. that inhibited primase quite well and with similar potency. We synthesized arabinofuranosylbenzimidazole triphosphate (araBTP) and found that this sugar change increased inhibition by 2-4-fold relative to the ribofuranosyl analog. AraBTP inhibited polymerization of both purines and pyrimidines, although primase polymerized only small amts. of the compound. Interestingly, even though araBTP was not readily polymerized by primase, it inhibited primase almost as potently as araATP, a compound that primase polymerizes extremely rapidly and that results in very strong chain termination. Importantly, this compound was a very weak inhibitor of and only slowly polymerized by DNA polymerase  $\alpha$ , indicating that it is a specific primase inhibitor. The potential utility and mechanistic implications of these inhibitors are discussed.

IT 478314-73-7P  
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (synthesis of nucleotide analogs that potently and selectively inhibit human DNA primase but had minimal effect on DNA polymerase  $\alpha$  activity)  
 RN 478314-73-7 CAPLUS

CN Inosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 495384-92-4P

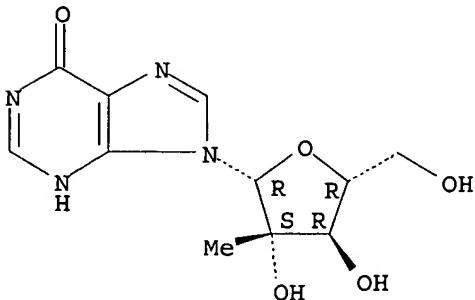
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of nucleotide analogs that potently and selectively inhibit human DNA primase but had minimal effect on DNA polymerase  $\alpha$  activity)

RN 495384-92-4 CAPLUS

CN 6H-Purin-6-one, 1,9-dihydro-9-(2-C-methyl- $\beta$ -D-arabinofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 26 Jul 2002

ACCESSION NUMBER: 2002:555629 CAPLUS

DOCUMENT NUMBER: 137:125359

TITLE: Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase

INVENTOR(S): Carroll, Steven S.; Lafemina, Robert L.; Hall, Dawn L.; Himmelberger, Amy L.; Kuo, Lawrence C.; Maccoss, Malcolm; Olsen, David B.; Rutkowski, Carrie A.; Tomassini, Joanne E.; An, Haoyun; Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Guinossio, Charles J.; Prhavc, Marija; Prakash, Thazha P.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 235 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

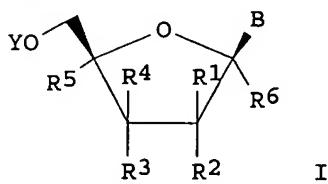
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057428	A2	20020725	WO 2002-US1531	20020118
WO 2002057425	A3	20050421		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2433878	AA	20020725	CA 2002-2433878	20020118
US 2002147160	A1	20021010	US 2002-52318	20020118
US 6777395	B2	20040817		
CN 1498221	A	20040519	CN 2002-806977	20020118
JP 2004532184	T2	20041021	JP 2002-558479	20020118
EP 1539188	A2	20050615	EP 2002-709095	20020118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004072788	A1	20040415	US 2003-431657	20030507
ZA 2003005078	A	20040521	ZA 2003-5078	20030630
US 2004067901	A1	20040408	US 2003-688691	20031017
US 2004110717	A1	20040610	US 2004-250873	20040116
US 7105499	B2	20060912		
US 2005272676	A1	20051208	US 2005-200499	20050809
US 2006205686	A1	20060914	US 2005-236224	20050927
PRIORITY APPLN. INFO.:			US 2001-263313P	P 20010122
			US 2001-282069P	P 20010406
			US 2001-299320P	P 20010619
			US 2001-344528P	P 20011025
			US 2002-52318	A3 20020118
			WO 2002-US1531	W 20020118
			US 2003-431657	B1 20030507
			US 2003-688691	A1 20031017

OTHER SOURCE(S):  
GI

MARPAT 137:125359



AB The present invention provides the preparation of nucleoside compds. I, wherein B is nucleobase, Y is H, alkylcarbonyl, phosphate; R1 is H, alkenyl, alkynyl, alkyl, alkylthio, alkylcarbonyloxy, aryloxycarbonyl, azido, amino, alkylamino; R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered heterocycle; R4 is H, OH, SH, NH<sub>2</sub>, alkylamino, cycloalkylamino, halogen, alkyl, alkoxy, CF<sub>3</sub>; R5 and R6 are independently H, hydroxymethyl, Me, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are

particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-1-(2-C-methyl- $\beta$ -D-ribofuranosyl)-1H-pyrazolo[3,4-d]pyrimidine was prepared as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100  $\mu$ M. The compds. of the present invention were also evaluated for their ability to affect the replication of Hepatitis C Virus RNA in cultured hepatoma (HuH-7) cells containing a sub-genomic HCV Replicon.

IT 444020-88-6P

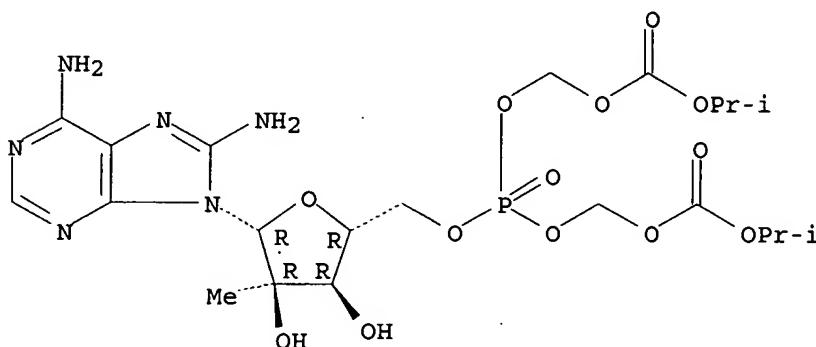
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)

RN 444020-88-6 CAPLUS

CN 5'-Adenylic acid, 8-amino-2'-C-methyl-, bis[[[(1-methylethoxy)carbonyloxy]methyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



*[Handwritten signature]*

L5 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 19 Feb 2002 *1~(a)* *JM 2002*  
 ACCESSION NUMBER: 2002:127033 CAPLUS  
 DOCUMENT NUMBER: 136:386341  
 TITLE: 2'-Ethynyl-DNA: synthesis and pairing properties  
 AUTHOR(S): Buff, Rolf; Hunziker, Jurg  
 CORPORATE SOURCE: Department of Chemistry and Biochemistry, University  
 of Bern, Bern, CH-3012, Switz.  
 SOURCE: Helvetica Chimica Acta (2002), 85(1), 224-254  
 PUBLISHER: Verlag Helvetica Chimica Acta  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 136:386341  
 AB 2-Ethynyl-DNA was developed as a potential DNA-selective oligonucleotide analog. The synthesis of 2'-arabino-ethynyl-modified nucleosides was achieved starting from properly protected 2'-ketonucleosides by addition of lithium (trimethylsilyl)acetylidy followed by reduction of the tertiary alc. After a series of protecting-group manipulations, phosphoramidite building blocks suitable for solid-phase synthesis were obtained. The synthesis of oligonucleotides from these building blocks was successful when a fast

deprotection scheme was used. The pairing properties of 2'-arabino-ethynyl-modified oligonucleotides can be summarized as follows: The 2'-arabino-ethynyl modification of pyrimidine nucleosides leads to a strong destabilization in duplexes with DNA as well as with RNA. The likely reason is that the ethynyl group sterically influences the torsional preferences around the glycosidic bond leading to a conformation not suitable for duplex formation. If the modification is introduced in purine nucleosides, no such influence is observed. The pairing properties are not or only slightly changed, and, in some cases (deoxyadenosine homo-polymers), the desired stabilization of the pairing with a DNA complementary strand and destabilization with an RNA complement is observed. In oligonucleotides of alternating deoxycytidine-deoxyguanosine sequence, the incorporation of 2'-arabinoethynyl deoxyguanosine surprisingly leads to the formation of a left-handed double helix, irresp. of salt concentration. The rationalization for this behavior is that the ethynyl group locks such duplexes in a left-handed conformation through steric blockade.

IT 424822-78-6P

RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

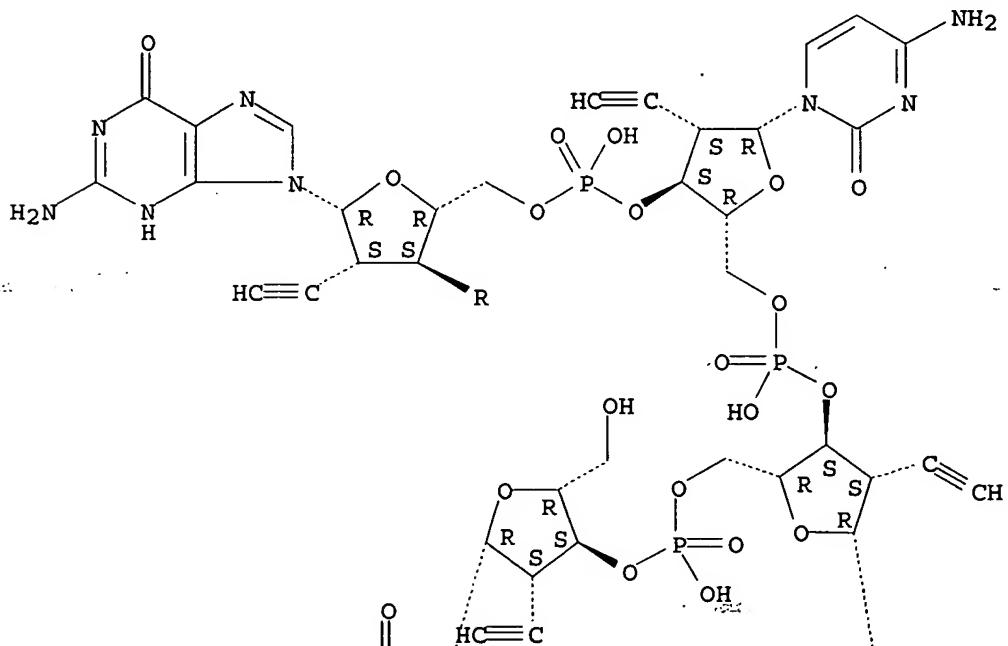
(preparation of 2'-Ethynyl-DNA to be used in the synthesis and pairing properties of DNA and RNA duplexes)

RN 424822-78-6 CAPLUS

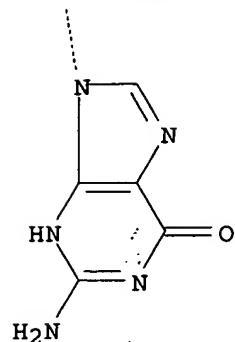
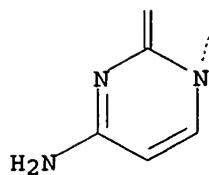
CN β-D-arabino-Guanosine, 2'-deoxy-2'-ethynyl-β-D-arabino-cytidylyl-  
 (3'→5')-2'-deoxy-2'-ethynyl-β-D-arabino-guanylyl-  
 (3'→5')-2'-deoxy-2'-ethynyl-β-D-arabino-cytidylyl-  
 (3'→5')-2'-deoxy-2'-ethynyl-β-D-arabino-guanylyl-  
 (3'→5')-2'-deoxy-2'-ethynyl-β-D-arabino-cytidylyl-  
 (3'→5')-2'-deoxy-2'-ethynyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

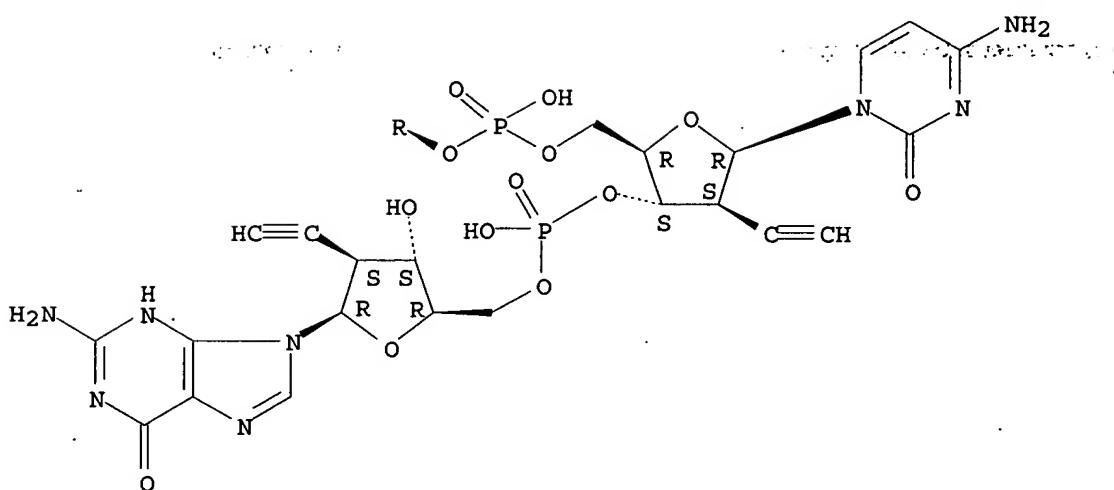
PAGE 1-A



PAGE 2-A



PAGE 3-A



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 07 Dec 2001

ACCESSION NUMBER: 2001:886155 CAPLUS

DOCUMENT NUMBER: 136:590

TITLE: Methods and compositions using modified nucleosides for treating flaviviruses and pestiviruses

INVENTOR(S): Sommadossi, Jean-Pierre; Lacolla, Paolo

PATENT ASSIGNEE(S): Novirio Pharmaceuticals Limited, Cayman I.; Universita Degli Studi Di Cagliari

SOURCE: PCT Int. Appl., 302 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092282	A2	20011206	WO 2001-US16687	20010523
WO 2001092282	A3	20020502		

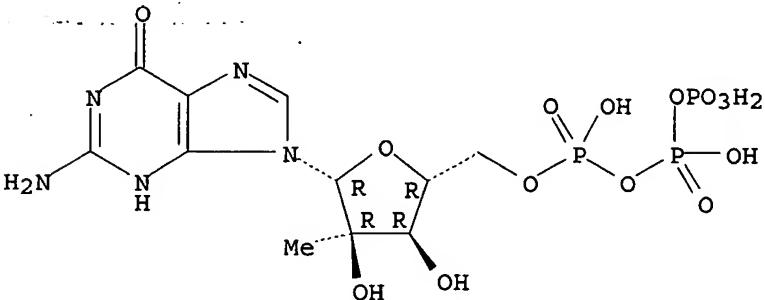
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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,  
 RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,  
 UZ, VN, YU, ZA, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 CA 2410579 AA 20011206 CA 2001-2410579 20010523  
 EP 1294735 A2 20030326 EP 2001-952131 20010523  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 US 2003060400 A1 20030327 US 2001-863816 20010523  
 US 6812219 B2 20041102  
 BR 2001011196 A 20040406 BR 2001-11196 20010523  
 JP 2004510698 T2 20040408 JP 2002-500895 20010523  
 NO 2002005600 A 20030117 NO 2002-5600 20021121  
 ZA 2002010112 A 20040623 ZA 2002-10112 20021212  
 US 2004063622 A1 20040401 US 2003-602693 20030620  
 US 2004097462 A1 20040520 US 2003-602692 20030620  
 US 7101861 B2 20060905  
 US 2004102414 A1 20040527 US 2003-602694 20030620  
 US 7105493 B2 20060912  
 US 2006166865 A1 20060727 US 2003-602135 20030620  
 PRIORITY APPLN. INFO.: US 2000-207674P P 20000526  
 US 2001-283276P P 20010411  
 US 2001-863816 A3 20010523  
 WO 2001-US16687 W 20010523

OTHER SOURCE(S): MARPAT 136:590

AB A method and composition are provided for treating a host infected with flavivirus or pestivirus, comprising administering an effective amount of a 1', 2' or 3'-modified nucleoside or a pharmaceutically acceptable salt or prodrug thereof.  
 IT 374750-29-5  
 RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); BIOL (Biological study)  
       (nucleoside derivs. for treating flaviviruses and pestiviruses)  
 RN 374750-29-5 CAPLUS  
 CN Guanosine 5'- (tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 30 Nov 2001

ACCESSION NUMBER: 2001:868467 CAPLUS

DOCUMENT NUMBER: 136:6296

TITLE: Preparation of antiviral nucleosides and methods for treating hepatitis C virus

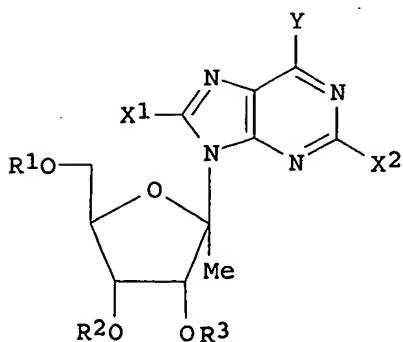
INVENTOR(S): Sommadossi, Jean-Pierre; Lacolla, Paulo

PATENT ASSIGNEE(S): Novirio Pharmaceuticals Limited, Cayman I.; Universita

SOURCE: degli Studi di Cagliari  
 DOCUMENT TYPE: PCT Int. Appl., 296 pp.  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: English 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001090121	A2	20011129	WO 2001-US16671	20010523
WO 2001090121	A3	20020502		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2409613	AA	20011129	CA 2001-2409613	20010523
AU 2001074906	A5	20011203	AU 2001-74906	20010523
US 2003050229	A1	20030313	US 2001-864078	20010523
US 6914054	B2	20050705		
EP 1292603	A2	20030319	EP 2001-941564	20010523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011127	A	20030624	BR 2001-11127	20010523
JP 2004533401	T2	20041104	JP 2001-586308	20010523
NZ 522863	A	20050729	NZ 2001-522863	20010523
EP 1669364	A2	20060614	EP 2006-75216	20010523
EP 1669364	A3	20060913		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY, TR				
NO 2002005627	A	20030106	NO 2002-5627	20021122
ZA 2002010101	A	20040614	ZA 2002-10101	20021212
US 2004097461	A1	20040520	US 2003-602691	20030620
US 2004101535	A1	20040527	US 2003-602976	20030620
US 2005124532	A1	20050609	US 2003-602142	20030620
US 2005137161	A1	20050623	US 2003-602136	20030620
AU 2006203121	A1	20060810	AU 2006-203121	20060721
AU 2006203122	A1	20060810	AU 2006-203122	20060721
PRIORITY APPLN. INFO.:			US 2000-206585P	P 20000523
			AU 2001-74906	A3 20010523
			EP 2001-941564	A3 20010523
			US 2001-864078	A1 20010523
			WO 2001-US16671	W 20010523

OTHER SOURCE(S) : MARPAT 136:6296  
 GI



AB A method and composition for treating a host infected with hepatitis C comprising administering an effective hepatitis C treatment amount of a described 1'-, 2'- or 3'-modified nucleosides I, wherein : R1-R3 and R are independently H, phosphate (including mono, di- or triphosphate and a stabilized phosphate prodrug); acyl; alkyl; sulfonate ester including alkyl or arylalkyl sulfonyl including methanesulfonyl and benzyl, wherein the Ph group is optionally substituted with one or more substituents as described in the definition of aryl given herein; a lipid, including a phospholipid; an amino acid; a carbohydrate; a peptide; a cholesterol; or other pharmaceutically acceptable leaving group which when administered in vivo is capable of providing a compound wherein R1-R3 are independently H or phosphate; Y is hydrogen, bromo, chloro, fluoro, iodo, OR4, NR4R5 or SR4; X1 and X2 are independently selected from the group consisting of H, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, chloro, bromo, fluoro, iodo, OR4, NR4R5 or SR4; and R4 and R5 are independently hydrogen, acyl, alkyl or a pharmaceutically acceptable salt or prodrug thereof, is provided. Thus, I (R1-R3 = X1 = X2 = H, Y = NH<sub>2</sub>) was prepared and tested in Cynomolgus monkeys as antiviral agent. Oral bioavailability in monkeys, bone human bone marrow toxicity (IC<sub>50</sub> > 10 μM), and mitochondrial toxicity, were reported.

IT: 374750-29-5P

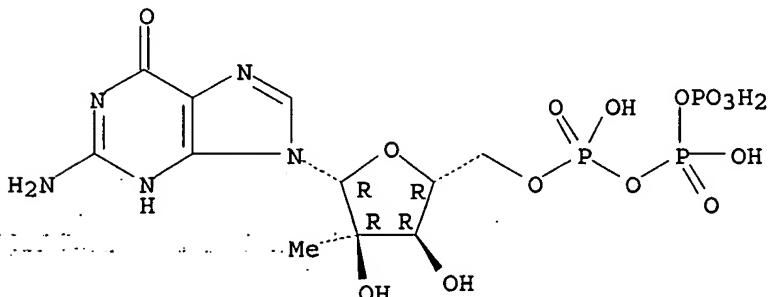
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of antiviral nucleosides and methods for treating hepatitis C virus)

RN: 374750-29-5 CAPLUS

CN Guanosine 5'- (tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 06 Apr 2001

ACCESSION NUMBER: 2001:247542 CAPLUS

DOCUMENT NUMBER: 134:292059

TITLE: Human RNase H and oligonucleotide compositions as substrates and for antisense therapy

INVENTOR(S): Crooke, Stanley T.; Lima, Walter F.; Wu, Hongjiang; Manoharan, Muthiah

PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 178 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023613	A1	20010405	WO 2000-US26729	20000929
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6617442	B1	20030909	US 1999-409926	19990930
EP 1222309	A1	20020717	EP 2000-965513	20000929
EP 1222309	B1	20051207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
AT 312202	E	20051215	AT 2000-965513	20000929
US 2004102618	A1	20040527	US 2003-616009	20030708
PRIORITY APPLN. INFO.:			US 1999-409926	A1 19990930
			WO 2000-US26729	W 20000929

ABSTRACT: A human Type 2 RNase H has been cloned, expressed, and purified to homogeneity. The human RNase H is expressed ubiquitously in all tissues and cell lines tested except the MCR-5 line. The enzyme cleaves RNA in an oligonucleotide/RNA duplex, and the sites of cleavage in the full RNA/DNA substrate and in gapmer/RNA duplexes (in which the oligonucleotide gapmer has a 5'-deoxynucleotide gap) were determined. The present invention provides oligonucleotides that can serve as substrates for human Type 2 RNase H and Escherichia coli RNase H1. These oligonucleotides are mixed sequence oligonucleotides comprising at least two portions, wherein a first portion is capable of supporting human RNase H1 cleavage of a complementary target RNA and a further portions which is not capable of supporting such cleavage. To better characterize the substrate specificity of human RNase H, duplexes in which the antisense oligonucleotide is modified in the 2'-position were synthesized. The present invention is also directed to methods of using these oligonucleotides in enhancing antisense oligonucleotide therapies. Oligonucleotides can be screened to identify those which are effective antisense agents by contacting human RNase H with an oligonucleotide and measuring binding of the oligonucleotide to the enzyme. Antisense oligonucleotides are identified specific for the cleavage and inhibition of expression of ICAM-1, Ha-ras, c-raf, and 5-lipoxygenase messages.

IT 33336-27-9P

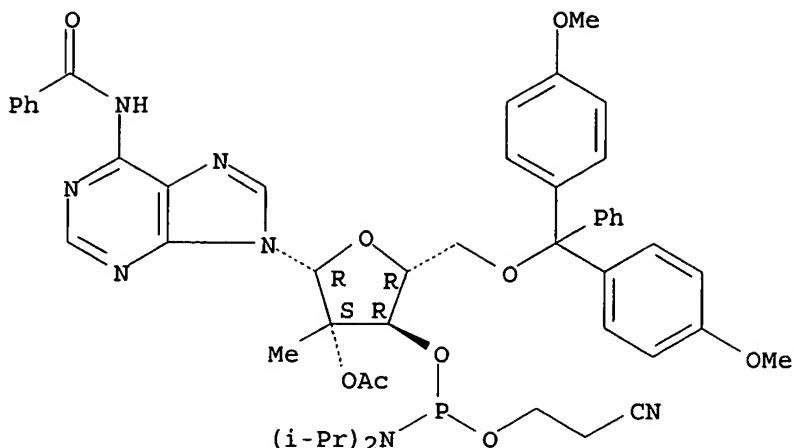
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(human RNase H and oligonucleotide compns. as substrates and for antisense therapy)

RN 33336-27-9 CAPLUS

CN Benzamide, N-[9-[2-O-acetyl-5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[(bis(1-methylethyl)amino)(2-cyanoethoxy)phosphino]-2-C-methyl-β-D-arabinofuranosyl]-9H-purin-6-yl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 16 Apr 1994

ACCESSION NUMBER: 1994:192164 CAPLUS

DOCUMENT NUMBER: 120:192164

TITLE: Nucleosides and nucleotides. 120. Stereoselective radical deoxygenation of tert-alcohols in the sugar moiety of nucleosides: synthesis of 2',3'-dideoxy-2'-C-methyl- and -2'-C-ethynyl- $\beta$ -D-threo-pentofuranosyl pyrimidines and adenine as potential antiviral and antitumor agents

AUTHOR(S): Kakefuda, Akio; Yoshimura, Yuichi; Sasaki, Takuma; Matsuda, Akira

CORPORATE SOURCE: Fac. Pharm. Sei., Hokkaido Univ., Sapporo, 060, Japan

SOURCE: Tetrahedron (1993), 49(38), 8513-28

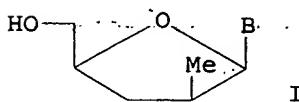
CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:192164

GI



AB Radical deoxygenation of 2'-O-methoxalyl ester of the corresponding 3'-deoxy-2'-C-methyl- $\beta$ -D-threo-pentofuranosyl-pyrimidines and -adenine, which were readily obtd. from the reaction of 1-(3-deoxy- $\beta$ -D-erythro-pentofuran-2-ulosyl)pyrimidines and adenine derivs. with MeMgBr, gave stereospecifically after deprotection the corresponding nucleosides, e.g. I (B = uracil, thymine, cytosine, adenine). Cytotoxicity, antitumor and anti-HIV activities of these nucleosides *in vitro* were described.

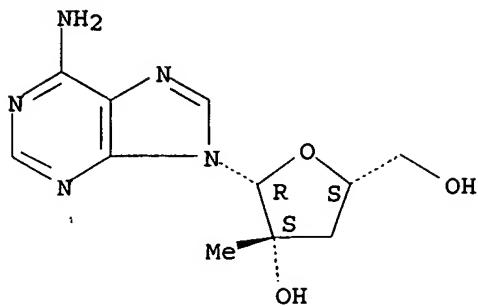
IT 109923-62-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 109923-62-8 CAPLUS

CN 9H-Purin-6-amine, 9-(3-deoxy-2-C-methyl- $\beta$ -D-threo-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 17 Feb 1989

ACCESSION NUMBER: 1989:57989 CAPLUS

DOCUMENT NUMBER: 110:57989

TITLE: The synthesis of C-methyl branched-chain deoxy sugar nucleosides by the deoxygenative methylation of O-tosylated adenosines with Grignard reagents

AUTHOR(S): Kawana, Masajiro; Takeuchi, Kikuko; Ohba, Takayo; Kuzuhara, Hiroyoshi

CORPORATE SOURCE: Inst. Phys. Chem. Res., RIKEN, Wako, 351-01, Japan

SOURCE: Bulletin of the Chemical Society of Japan (1988),  
61(7), 2437-42

CODEN: BCSJA8; ISSN: 0009-2673

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 110:57989

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

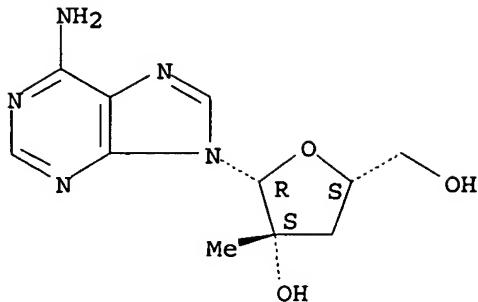
AB Title 3'-C-Me nucleoside I was prepared from 2'-O-tosyladenosines II [Ts = tosyl; R1 = H, 4,4'-dimethoxytrityl (DMTr), R2 = DMTr; R1 = trityl, R2 = H] by treatment with MeMgBr or MeMgI, followed by deblocking. 3'-O-Tosyladenosines III (R1 = H, DMTr; R2 = DMTr) were treated with MeMgBr or MeMgI and then deblocked to give epimeric mixts. of 2'-C-Me nucleosides IV and V.

IT 109923-62-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and methanolysis of)

RN 109923-62-8 CAPLUS

CN 9H-Purin-6-amine, 9-(3-deoxy-2-C-methyl-β-D-threo-pentofuranosyl)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 11 Jun 1988

ACCESSION NUMBER: 1988:204976 CAPLUS

DOCUMENT NUMBER: 108:204976

TITLE: Conformational studies of 3'-C-methyl and 2'-C-methyl analogs of cordycepin

AUTHOR(S): Koole, L. H.; Buck, H. M.; Bazin, H.; Chattopadhyaya, J.

CORPORATE SOURCE: Dep. Org. Chem., Eindhoven Univ. Technol., Eindhoven, 5600 MB, Neth.

SOURCE: Tetrahedron (1987), 43(13), 2989-97

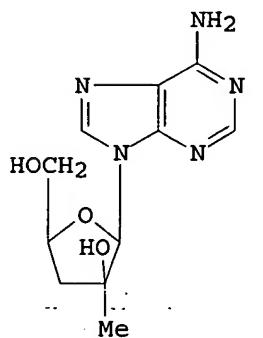
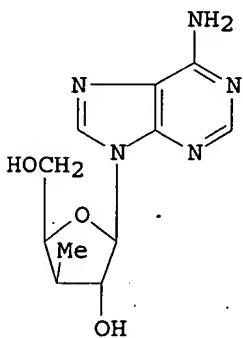
CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 108:204976

GI



AB A high resolution <sup>1</sup>H NMR conformational anal. study of a 3'-C-Me (I) and a 2'-C-Me (II) analog of cordycepin, a naturally occurring antibiotic, was performed. For I the Me group on C-3', leads to an entirely different mol. conformation, which is determined primarily by a strong intramol. hydrogen bond between O-5' and N-3 of the syn-oriented adenine base. This particular conformation results in very unusual broadening of the H-5'' resonances in the case of CDCl<sub>3</sub> as solvent. The synthesis of II via a regiospecific Grignard-type reaction is described. Conformational anal. of II revealed that the Me group on C-2' shifts the conformational equilibrium of the furanose ring towards south form.

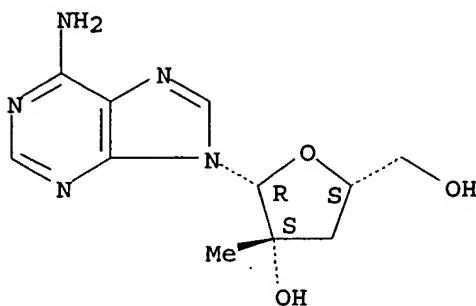
IT 109923-62-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and NMR conformational anal. of)

RN 109923-62-8 CAPLUS

CN 9H-Purin-6-amine, 9-(3-deoxy-2-C-methyl-β-D-threo-pentofuranosyl)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 19 Sep 1987

ACCESSION NUMBER: 1987:497040 CAPLUS

DOCUMENT NUMBER: 107:97040

TITLE: The deoxygenations of tosylated adenosine derivatives with Grignard reagents

AUTHOR(S): Kawana, Masajiro; Takeuchi, Kikuko; Ohba, Takayo; Kuzuhara, Hiroyoshi

CORPORATE SOURCE: Riken, Saitama, 351-01, Japan

SOURCE: Nucleic Acids Symposium Series (1986), 17 (Symp. Nucleic Acids Chem., 14th, 1986), 37-40  
CODEN: NACSD8; ISSN: 0261-3166

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 107:97040

AB The reactions of 2'-O- or 3'-O-tosylated adenosines with Grignard reagents resulted in the formation of various products, which were deoxy or branched-chain deoxy sugar nucleosides, 1',2'-unsatd. nucleosides, 3'-deoxy-2'-keto sugar nucleosides, and so on. The convenient method for the synthesis of the 3'-deoxy-2'-keto adenine nucleoside is described.

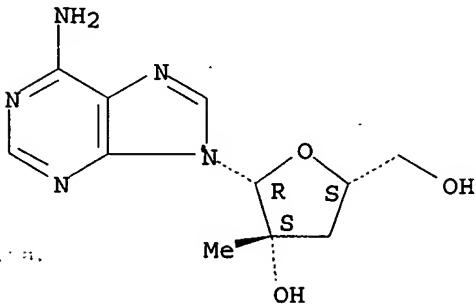
IT 109923-62-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 109923-62-8 CAPLUS

CN 9H-Purin-6-amine, 9-(3-deoxy-2-C-methyl-β-D-threo-pentofuranosyl)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



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NEWS 12 JUL 11 CHEMSAFE reloaded and enhanced  
NEWS 13 JUL 14 FSTA enhanced with Japanese patents  
NEWS 14 JUL 19 Coverage of Research Disclosure reinstated in DWPI  
NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive  
NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced  
NEWS 17 AUG 30 CA(SM)/CAplus(SM) Austrian patent law changes  
NEWS 18 SEP 11 CA/CAplus enhanced with more pre-1907 records  
NEWS 19 SEP 21 CA/CAplus fields enhanced with simultaneous left and right truncation

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STRUCTURE FILE UPDATES: 24 SEP 2006 HIGHEST RN 908332-13-8  
DICTIONARY FILE UPDATES: 24 SEP 2006 HIGHEST RN 908332-13-8

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Uploading C:\Program Files\Stnexp\Queries\521006-R1-STR-Bhat et al.str

L1 STRUCTURE uploaded

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L1 HAS NO ANSWERS  
L1 STR  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> d scan  
L1 HAS NO ANSWERS

=> s l1 exact full  
FULL SEARCH INITIATED 11:41:35 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED 1 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

L2 0 SEA EXA FUL L1

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COST IN U.S. DOLLARS SINCE FILE TOTAL  
FULL ESTIMATED COST ENTRY SESSION  
57.42 57.63

FILE 'CAPLUS' ENTERED AT 11:41:54 ON 25 SEP 2006  
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FILE LAST UPDATED: 24 Sep 2006 (20060924/ED)

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(FILE 'HOME' ENTERED AT 11:40:01 ON 25 SEP 2006)

FILE 'REGISTRY' ENTERED AT 11:40:13 ON 25 SEP 2006

L1                   STRUCTURE UPLOADED  
L2                   0 S L1 EXACT FULL

FILE 'CAPLUS' ENTERED AT 11:41:54 ON 25 SEP 2006

=> s 12  
L3                   0 L2

=> s 11  
REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 11:42:47 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED -       126 TO ITERATE

100.0% PROCESSED       126 ITERATIONS                           26 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:   ONLINE   \*\*COMPLETE\*\*  
                          BATCH    \*\*COMPLETE\*\*  
PROJECTED ITERATIONS:    1847 TO    3193  
PROJECTED ANSWERS:       215 TO     825

L4                   26 SEA SSS SAM L1

L5                   23 L4

=> d ed ibib abs hitstr 1

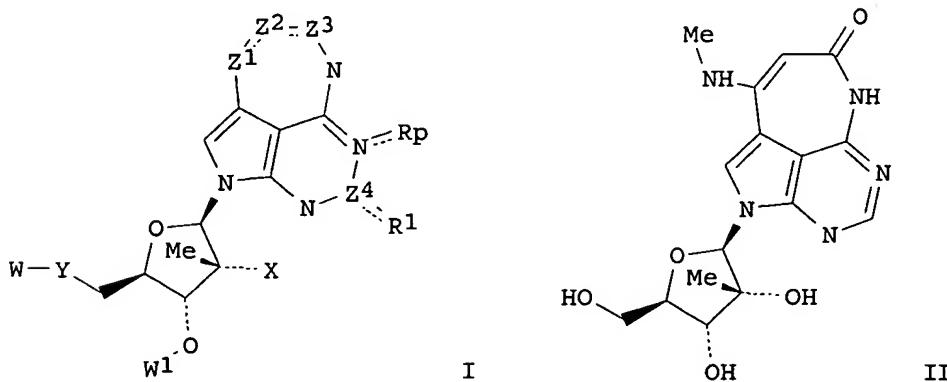
L5   ANSWER 1 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
ED   Entered STN: 01 Sep 2006  
ACCESSION NUMBER:       2006:894501 CAPLUS  
DOCUMENT NUMBER:        145:272001

*for Alex*

TITLE: Preparation of tricyclic nucleoside prodrugs for  
 treating viral infections  
 INVENTOR(S): Keicher, Jesse Daniel; Roberts, Christopher Don  
 PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 63pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006194749	A1	20060831	US 2006-365170	20060228
WO 2006093986	A1	20060908	WO 2006-US7131	20060228
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
WO 2006093987	A1	20060908	WO 2006-US7132	20060228
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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PRIORITY APPLN. INFO.: US 2005-657463P P 20050228  
 GI

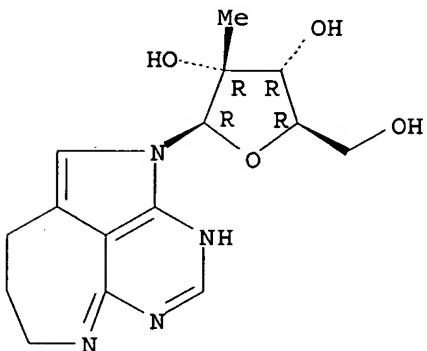


AB Tricyclic nucleoside prodrugs I, wherein the delocalized bond may be single or double bond; the bond between N and Rp is a single bond or no bond; p is 0 or 1; R is H, alkyl, cycloalkyl; R1 is H, alkyl, alkyl,

alkoxy, thiol, alkylthio-ether, =O, =S; Z1-Z3 are independently CH, CH<sub>2</sub>, substituted C or CH, N; Z4 is C, N; Y is bond, CH<sub>2</sub>, O; X is OH, O-alkyl; W and W1 are independently H, alkyl; were prepared for treating viral infections caused by a Flaviviridae family virus, such as hepatitis C virus. Tablet, capsule, suppository, injectable, and suspension formulations are reported. Thus, tricyclic nucleoside II was prepared and tested as antiviral agent against hepatitis C virus. Cloning and expression of recombinant HCV-NS5b was reported. Title nucleosides were used in pharmaceutical combination chemotherapy composition of one or more agents active against HCV consisting of Ribavirin, levovirin, viramidine, thymosinol, an inhibitor of NS3 serine protease, and inhibitor of inosine monophosphate dehydrogenase, interferonα pegylated interferonα alone or in combination with viramidine, Ribavirin or levovirin..

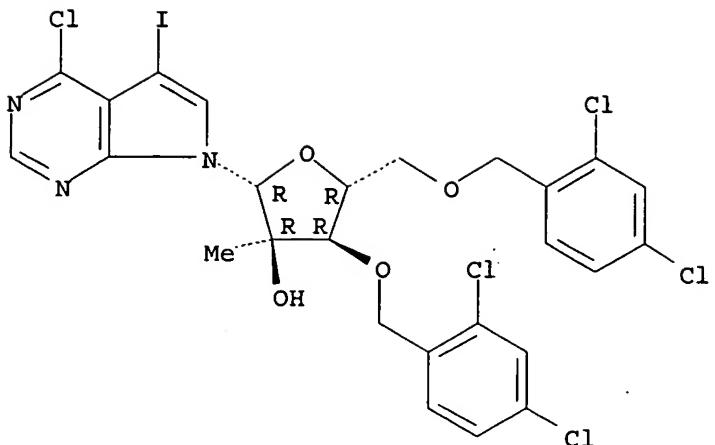
IT 847551-17-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of tricyclic nucleoside prodrugs for treating viral infections)  
 RN 847551-17-1 CAPLUS  
 CN 2H-2,3,5,6-Tetraazabenz[cd]azulene, 3,7,8,9-tetrahydro-2-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 847551-25-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of tricyclic nucleoside prodrugs for treating viral infections)  
 RN 847551-25-1 CAPLUS  
 CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d ed ibib abs hitstr 2-23

L5 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2006 ACS on STM  
 ED Entered STM: 26 May 2006 *700 New*  
 ACCESSION NUMBER: 2006:494221 CAPLUS  
 DOCUMENT NUMBER: 145:8396  
 TITLE: Preparation of nucleoside analogs for treating Hepatitis C and other Flaviviridae family viral infections  
 INVENTOR(S): Keicher, Jesse D.; Roberts, Christopher D.; Dyatkina, Natalia B.  
 PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 23 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

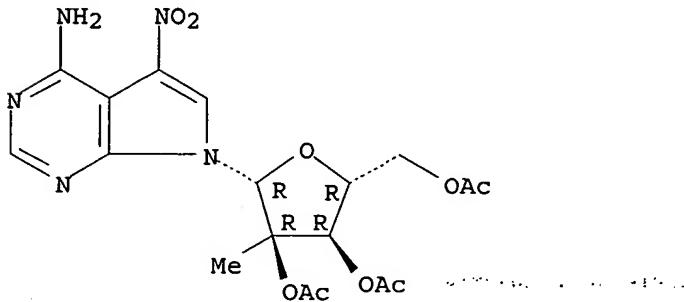
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006111311	A1	20060525	US 2005-280984	20051115
PRIORITY APPLN. INFO.:			US 2004-630453P	P 20041122
OTHER SOURCE(S): GI		MARPAT 145:8396		

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Nucleoside analogs I, wherein Y is a bond, -CH<sub>2</sub>-, or -O-; W-W<sub>2</sub> are independently H, acyl, oxyacyl, phosphonate, phosphate esters, phosphonamidate, phosphorodiamidate, phosphoramidate monoester, cyclic phosphoramidate, cyclic phosphorodiamidate, phosphoramidate diester, and -C(O)CHR<sub>1</sub>NHR<sub>2</sub>, where R<sub>1</sub> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and a side-chain of an amino acid; or R<sub>1</sub> and R<sub>2</sub> together with the carbon and nitrogen atoms bound thereto resp. form a heterocyclic ring compns. are prepared and useful in the treatment of viral infections caused by a Flaviviridae family virus, such as Hepatitis C virus. Thus, II was prepared and tested as an antiviral agent against Hepatitis C virus in an HCV-NS5b enzyme assay (IC<sub>50</sub> = 2.6 μM).

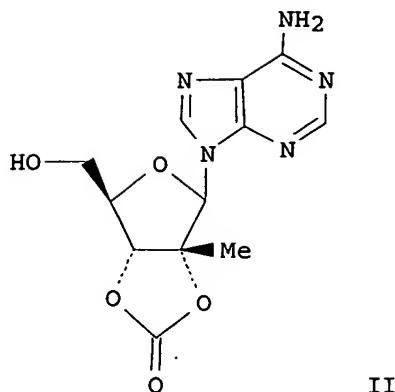
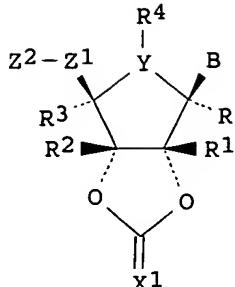
IT 887748-00-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of nucleoside analogs for treating Hepatitis C and other Flaviviridae family viral infections)  
 RN 887748-00-7 CAPLUS  
 CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5-nitro-7-(2,3,5-tri-O-acetyl-2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 30 Mar 2006 *+D Now*  
 ACCESSION NUMBER: 2006:296019 CAPLUS  
 DOCUMENT NUMBER: 144:312290  
 TITLE: Preparation of nucleoside derivatives as antiviral, antitumor, and antidiabetic prodrug agents  
 INVENTOR(S): Reddy, Raja K.; Erion, Mark D.  
 PATENT ASSIGNEE(S): Metabasis Therapeutics, Inc., USA  
 SOURCE: PCT Int. Appl., 255 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006033709	A2	20060330	WO 2005-US27235	20050729
WO 2006033709	A3	20060831		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 2005182252	A1	20050818	US 2004-903215	20040729
PRIORITY APPLN. INFO.:			US 2004-903215	A 20040729
			US 2005-652527P	P 20050211
			US 2004-544743P	P 20040213
OTHER SOURCE(S): GI	MARPAT	144:312290		



**AB** Nucleoside derivs. I, wherein X1 is O, S, SO, substituted nitrogen; B is heterocycle, nucleobase; Y is O, S, N, substituted C, CH2; R and R1 are independently H, alkyl, 1-alkenyl, alkynyl, R2 is H, alky, alkenyl, alkynyl, alkylamino, cycloalkyl-amino, halogen, alkoxy; R3 is H, halogen, alkyl, alkoxy, alkenyl-oxy, alkylthio, alkylcarbonyl-oxy, aryloxy-carbonyl, azido, amino, alkylamino; R4 is H, alkyl, alkenyl, alkynyl, OH, alkoxy, halogen, CN, were prepared and tested in vitro and in rats for the treatment of viral diseases including hepatitis C viral infection, cancer, diabetes, and other diseases. The activation of prodrug analogs to NMP was evaluated in the microsomal fraction of human liver. The HepDirect-carbonate prodrugs evaluated were activated to the corresponding NMP in human liver microsomes, indicating that the enzymes required for removal of both the HepDirect and the carbonate prodrug moieties are present in this reaction system. Thus, nucleoside II was prepared via coupling and hydrogen transfer reactions and tested in vitro and in rats as antiviral, antitumor, and antidiabetic prodrug agents. The oral bioavailability (OBAV) of the free nucleoside is very low (<5 %) whereas the OBAV of its carbonate prodrugs are >20 %. The compds. of the present invention may also be administered in combination with an agent that is an inhibitor of HCV NS3 serine protease.

**IT** 879493-30-8P 879493-53-5P 879493-54-6P  
879494-08-3P 879494-10-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside derivs. via coupling and hydrogen transfer reactions as antiviral, antitumor, and antidiabetic prodrug agents)

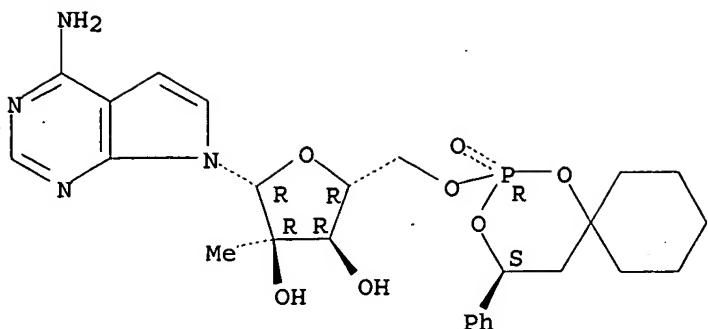
**RN** 879493-30-8 CAPLUS

**CN** 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[2-C-methyl-5-O-[(2R,4S)-2-oxido-4-phenyl-1,3-dioxa-2-phosphaspiro[5.5]undec-2-yl]-β-D-ribofuranosyl]-, trifluoroacetate (5:1) (salt) (9CI) (CA INDEX NAME)

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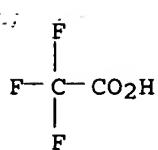
**CRN** 879493-29-5  
**CMF** C26 H33 N4 O7 P

Absolute stereochemistry.



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CRN 76-05-1  
CMF C2 H F3 O2

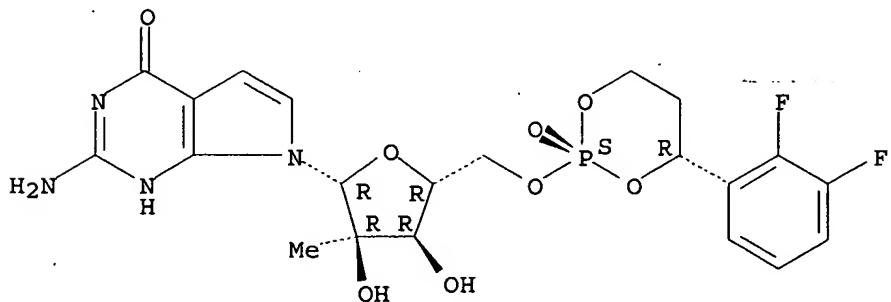


RN 879493-53-5 CAPLUS  
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-amino-7-[5-O-[(2S,4R)-4-(2,3-difluorophenyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2-C-methyl-β-D-ribofuranosyl]-1,7-dihydro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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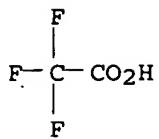
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CMF C21 H23 F2 N4 O8 P

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 879493-54-6 CAPLUS

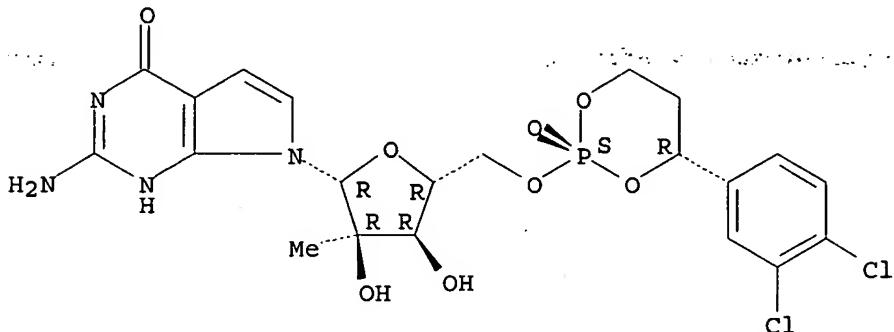
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-amino-7-[5-O-[(2S,4R)-4-(3,4-dichlorophenyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2-C-methyl-β-D-ribofuranosyl]-1,7-dihydro-, trifluoroacetate (5:1) (9CI) (CA INDEX NAME)

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CRN 862189-20-6

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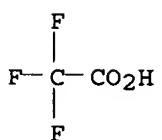
Absolute stereochemistry.



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CRN 76-05-1

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RN 879494-08-3 CAPLUS

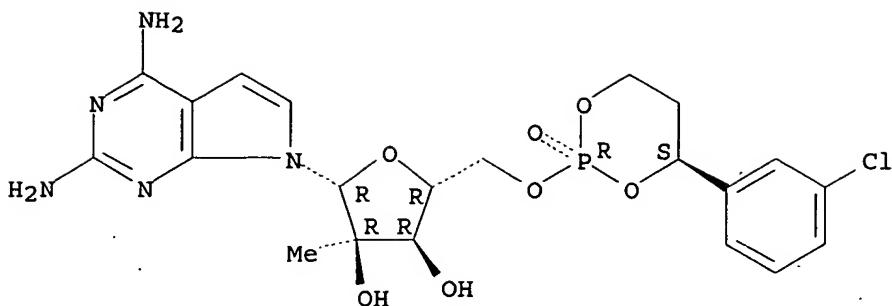
CN 7H-Pyrrolo[2,3-d]pyrimidine-2,4-diamine, 7-[5-O-[(2R,4S)-4-(3-chlorophenyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2-C-methyl-β-D-ribofuranosyl]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 879494-07-2

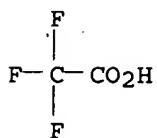
CMF C21 H25 Cl N5 O7 P

Absolute stereochemistry.



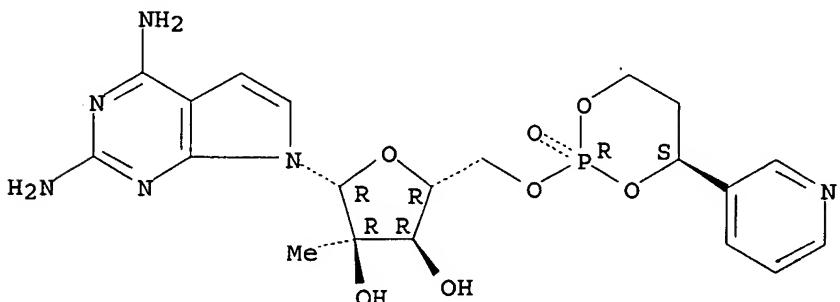
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 879494-10-7 CAPLUS  
CN 7H-Pyrrolo[2,3-d]pyrimidine-2,4-diamine, 7-[2-C-methyl-5-O-[(2R,4S)-2-oxido-4-(3-pyridinyl)-1,3,2-dioxaphosphorinan-2-yl]-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

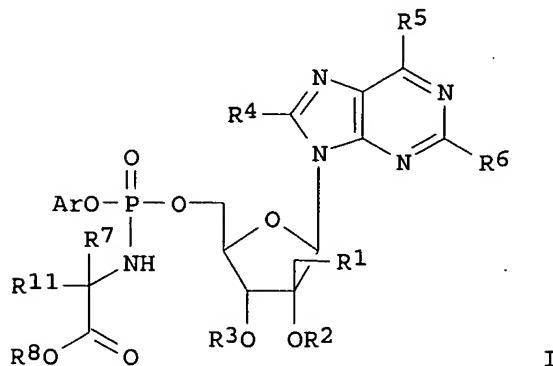
Absolute stereochemistry.



L5 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 03 Feb 2006 *New*  
ACCESSION NUMBER: 2006:100316 CAPLUS *JSD*  
DOCUMENT NUMBER: 144:192451  
TITLE: Preparation of nucleoside aryl phosphoramidates for use as an inhibitor of hepatitis C virus NS5B polymerase, RNA-dependent RNA polymerase, RNA viral replication and treating RNA-dependent RNA viral infections  
INVENTOR(S): Maccoss, Malcolm; Olsen, David B.  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
SOURCE: PCT Int. Appl., 40 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006012078	A2	20060202	WO 2005-US21684	20050620
WO 2006012078	A3	20060601		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2004-582667P	P 20040624
			US 2004-619746P	P 20041018
OTHER SOURCE(S):	MARPAT 144:192451			
GI				



AB Nucleoside aryl phosphoramidates I, wherein Y is (un)substituted C or N; Ar is (un)substituted Ph; R1 is hydrogen, fluoro, azido, amino, hydroxy, C1-3 alkoxy, mercapto, and C1-3 alkylthio; R2 and R3 are each independently selected from the group consisting of hydrogen, Me, C1-16 alkylcarbonyl, C2-18 alkenylcarbonyl, C1-10 alkyloxycarbonyl, C3-6 cycloalkylcarbonyl, and C3-6 cycloalkyloxycarbonyl; R4 is hydrogen, halogen, Me, azido, or amino; R5 and R6 are each independently selected from the group consisting of hydrogen, hydroxy, halogen, C1-4 alkoxy, amino, C1-4 alkylamino, di(C1-4 alkyl)amino, C3-6 cycloalkylamino, di(C3-6 cycloalkyl)amino, benzylamino, dibenzylamino, or C4-6 heterocycloalkyl, wherein alkyl, cycloalkyl, benzyl, and heterocycloalkyl; R7 is hydrogen, C1-5 alkyl, (un)substituted Ph or benzyl; R8 is hydrogen, C1-6 alkyl, C3-6 cycloalkyl, (un)substituted Ph or benzyl; R9 is hydrogen or Me, were prepared as precursors to inhibitors of RNA-dependent RNA viral polymerase. Nucleoside aryl phosphoramidates, I, alone or in combination with other agents active against RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection. Thus, II was prepared (no yield) and tested as an inhibitor of hepatitis C virus (HCV) NS5B polymerase, as precursors to inhibitors of HCV replication, and/or for the treatment of hepatitis C infection (EC<sub>50</sub> less than 100 μM).

IT 874883-62-2P 874883-68-8P

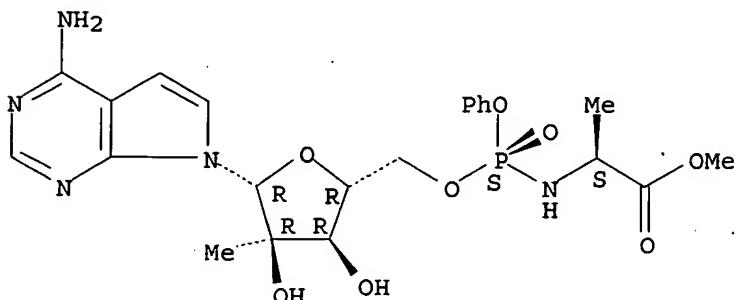
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside aryl phosphoramidates for use as an inhibitors of hepatitis C virus NS5B polymerase, RNA-dependent RNA polymerase, RNA viral replication and treating RNA-dependent RNA viral infections)

RN 874883-62-2 CAPLUS

CN L-Alanine, N-[(S)-[1-(4-amino-7H-pyrrolo[2,3-d]pyrimidin-7-yl)-1-deoxy-2-C-methyl-β-D-ribofuranos-5-O-yl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

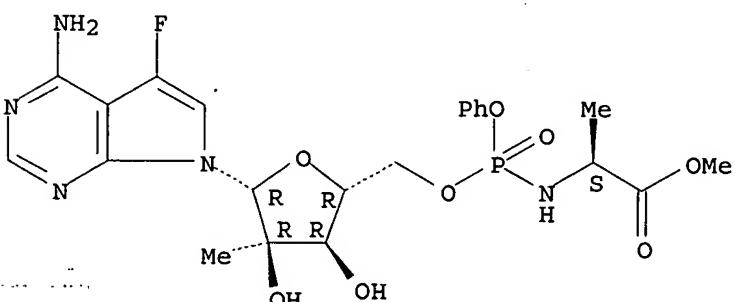
Absolute stereochemistry.



RN 874883-68-8 CAPLUS

CN L-Alanine, N-[[1-(4-amino-5-fluoro-7H-pyrrolo[2,3-d]pyrimidin-7-yl)-1-deoxy-2-C-methyl-β-D-ribofuranos-5-O-yl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 30 Sep 2005

ACCESSION NUMBER: 2005:1050841 CAPLUS

DOCUMENT NUMBER: 143:326574

TITLE: Preparation of nucleosides as prodrugs and antiviral agents

INVENTOR(S): Roberts, Christopher D.; Keicher, Jesse D.; Dyatkina, Natalia B.

PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 58 pp., Cont.-in-part of U.S. Ser. No. 861,311.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

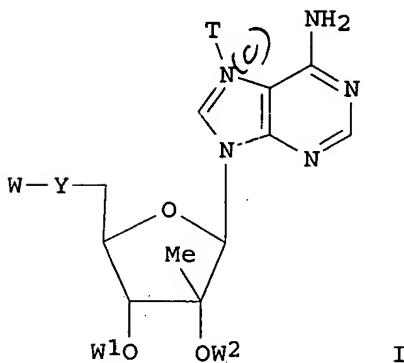
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

*John Neale*

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005215511	A1	20050929	US 2004-971477	20041021
US 2005090463	A1	20050428	US 2004-861311	20040604
US 2005101550	A1	20050512	US 2004-861219	20040604
US 2006079468	A1	20060413	US 2004-861090	20040604
PRIORITY APPLN. INFO.:			US 2003-515153P	P 20031027
			US 2004-861090	A2 20040604
			US 2004-861219	A2 20040604
			US 2004-861311	A2 20040604
			US 2004-602815P	P 20040818

OTHER SOURCE(S) : MARPAT 143:326574  
GI



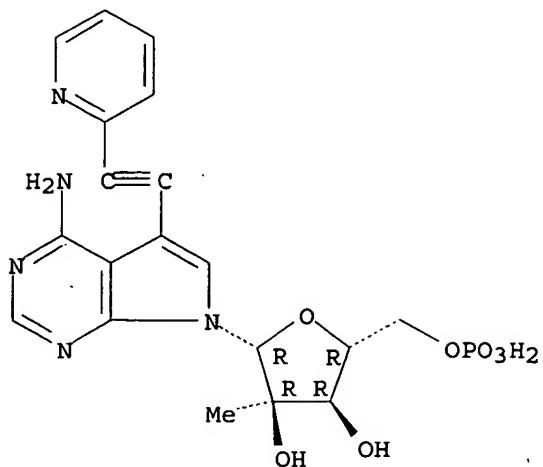
AB Nucleosides I, wherein Y is bond, CH<sub>2</sub>, O; W-W2 are independently H, pharmaceutically acceptable prodrug; T is substituted alkyne, substituted alkene, were prepared and used for treating viral infections caused by a Flaviviridae family virus, such as hepatitis C virus. Thus, 7-(2'-C-methyl-β-D-ribofuranosyl)-4-amino-5-(2'-trimethylsilylethynyl)-pyrrolo[2,3-d]pyrimidine was prepared and tested in vitro as antiviral agent against hepatitis C virus (replicon assay, % inhibition value range 35.8 - 98.2 μM).

IT 850338-32-8P 865481-58-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of nucleosides as prodrugs and antiviral agents)

RN 850338-32-8 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-(2-C-methyl-5-O-phosphono-β-D-ribofuranosyl)-5-(2-pyridinylethylnyl)- (9CI) (CA INDEX NAME)

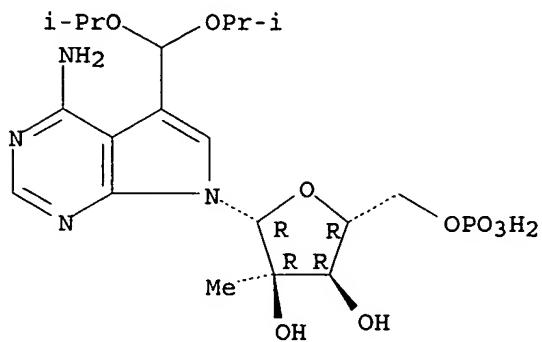
Absolute stereochemistry.



RN 865481-58-9 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5-[bis(1-methylethoxy)methyl]-7-[2-C-methyl-5-O-phosphono-beta-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



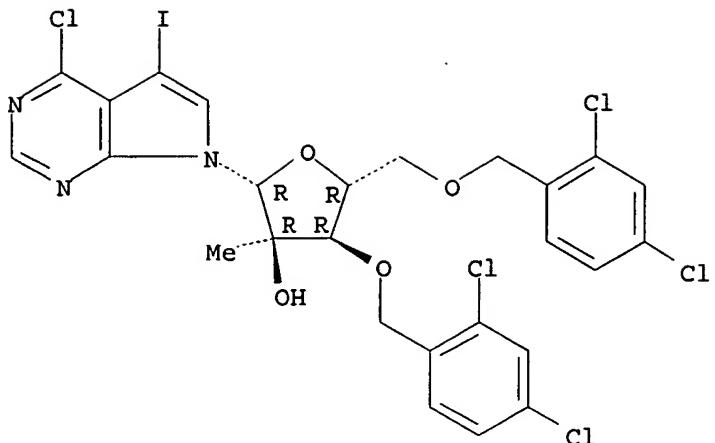
IT 847551-25-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of nucleosides as prodrugs and antiviral agents)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-((2,4-dichlorophenyl)methyl)-2-C-methyl-beta-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 30 Sep 2005

ACCESSION NUMBER: 2005:1050840 CAPLUS

DOCUMENT NUMBER: 143:326573

TITLE:

Methods for preparing 7-(2'-substituted- $\beta$ -D-ribofuranosyl)-4-(NR<sub>2</sub>R<sub>3</sub>)-5-(substituted ethyn-1-yl)-pyrrolo[2,3-d]pyrimidine derivatives as antiviral agents

INVENTOR(S):

Roberts, Christopher D.; Keicher, Jesse D.; Dyatkina, Natalia B.

PATENT ASSIGNEE(S):

Genelabs Technologies, Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 28 pp., Cont.-in-part of U.S. Ser. No. 861,311.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

5

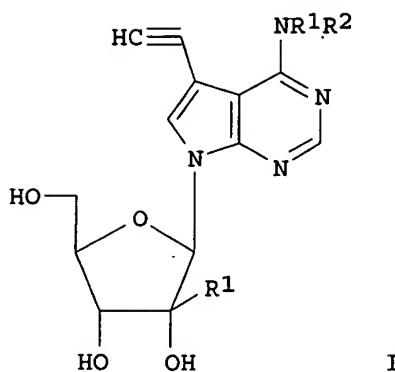
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005215510	A1	20050929	US 2004-970641	20041020
US 2005090463	A1	20050428	US 2004-861311	20040604
US 2006079468	A1	20060413	US 2004-861090	20040604
PRIORITY APPLN. INFO.:			US 2003-515153P	P 20031027
			US 2004-861090	A2 20040604
			US 2004-861311	A2 20040604
			US 2004-602815P	P 20040818

OTHER SOURCE(S):

CASREACT 143:326573; MARPAT 143:326573

GI

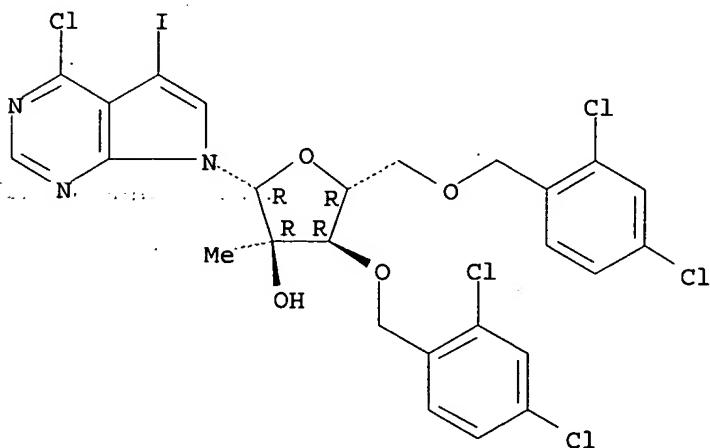


AB 7-(2'-Substituted- $\beta$ -D-ribofuranosyl)-4-(NR<sub>2</sub>R<sub>3</sub>)-5-(substituted ethynyl-1-yl)-pyrrolo[2,3-d]pyrimidine derivs. I, wherein R<sub>1</sub> is alkyl, alkenyl, alkynyl; R<sub>2</sub> and R<sub>3</sub> are independently H, alkyl, amino, OH, alkoxy, formyl, acyl; NR<sub>2</sub>R<sub>3</sub> form heterocyclic, were prepared as antiviral agents. These compds. are useful in treating viral infections caused by a flaviviridae family virus, such as hepatitis C virus (IC<sub>50</sub> ranges from 0.09 to >50  $\mu$ M). Thus, I (R<sub>1</sub> = Me, R<sub>2</sub> = R<sub>3</sub> = H) was prepared and tested in vitro as antiviral agent (IC<sub>50</sub> = 0.09  $\mu$ M).

IT 847551-25-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (methods for preparing 7-(2'-substituted- $\beta$ -D-ribofuranosyl)-4-(NR<sub>2</sub>R<sub>3</sub>)-5-(substituted ethynyl-1-yl)-pyrrolo[2,3-d]pyrimidine derivs. as antiviral agents)

RN 847551-25-1 CAPLUS  
 CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl- $\beta$ -D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 19 Aug 2005 *for New*  
 ACCESSION NUMBER: 2005:824501 CAPLUS  
 DOCUMENT NUMBER: 143:212123  
 TITLE: Preparation of 2'-C-methyl nucleoside derivatives and their uses for the treatment of hepatitis C viral infection  
 INVENTOR(S): Reddy, K. Raja; Erion, Mark D.  
 PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 84 pp.  
 CODEN: USXXCO

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2

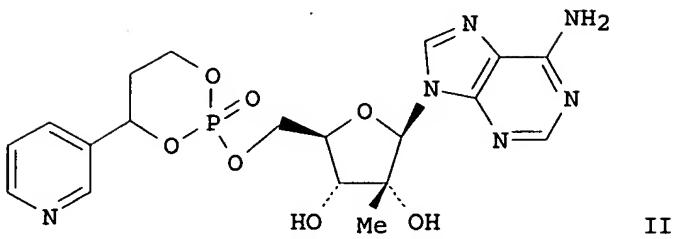
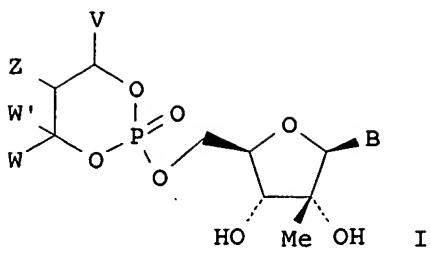
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005182252	A1	20050818	US 2004-903215	20040729
WO 2005084192	A2	20050915	WO 2005-US4447	20050214
WO 2005084192	A3	20060511		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

WO 2006033709	A2	20060330	WO 2005-US27235	20050729
WO 2006033709	A3	20060831		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:	US 2004-544743P	P 20040213
	US 2004-903215	A 20040729
	US 2005-652527P	P 20050211

OTHER SOURCE(S) : MARPAT 143:212123  
 GI



**AB** 2'-C-Me nucleosides I, wherein B is purine nucleobase; V is monocyclic aryl, monocyclic heteroaryl; W and W' are independently monocyclic aryl, monocyclic heteroaryl, H, alkyl, heterocycloalkyl, aralkyl; Z is CN, acyl, amide, carboxylate, sulfonyl, sulfonamide, OH, sulfide, alkyl, aryl, heterocycloalkyl, aralkyl, thio-ester; V and Z are connected via an addnl. 3-5 atoms to form a cyclic group optionally containing hetero-atom; Z and W are connected via an addnl. 3-5 atoms to form a cyclic group optionally containing hetero-atom; W and W' are connected via an addnl. 2-5 atoms to form a cyclic group optionally containing 0-2 hetero-atoms, were prepared and used for the treatment of hepatitis C viral infection. Thus, nucleoside II was prepared and tested in mice as hepatitis C antiviral agent. The prodrug analogs are tested for activation in human liver microsomes and in rat liver microsomes activation (250 µM). Nucleoside analogs and their prodrugs were evaluated for their ability to generate NTPs in freshly isolated rat hepatocytes. It is generally accepted that the NTP (0.1-160 nmol/g) form of a nucleoside is the active antiviral agent.

**IT** 862189-24-0P

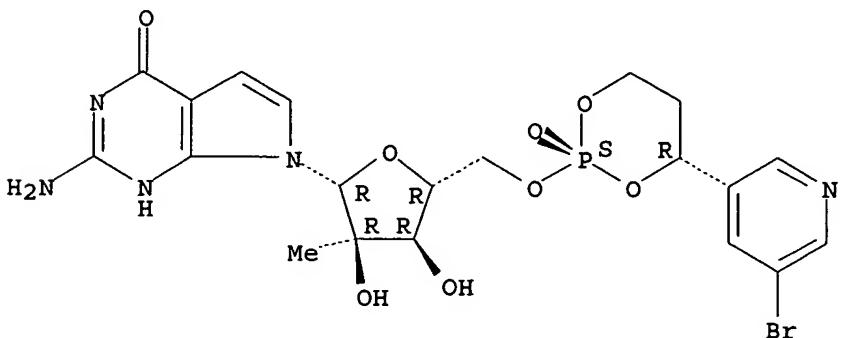
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2'-C-Me nucleoside derivs. and their uses for the treatment of hepatitis C viral infection)

**RN** 862189-24-0 CAPLUS

**CN** 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-amino-7-[5-O-[(2S,4R)-4-(5-bromo-3-pyridinyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2-C-methyl-β-D-ribofuranosyl]-1,7-dihydro- (9CI). (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 03 Jun 2005  
 ACCESSION NUMBER: 2005474924 CAPLUS  
 DOCUMENT NUMBER: 143:7941

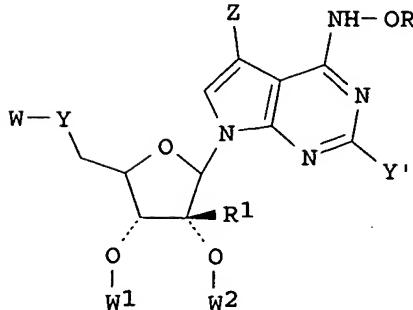
*DD New*

TITLE: Preparation of nucleoside derivatives for treating Hepatitis C virus infection  
 INVENTOR(S): Roberts, Christopher D.; Keicher, Jesse; Dyatkina, Natalia B.  
 PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 25 pp., Cont.-in-part of U.S. Ser. No. 676,956.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

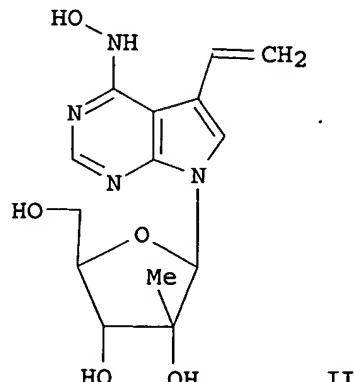
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005119200	A1	20050602	US 2004-821638	20040408
US 7094768	B2	20060822		
US 2004147464	A1	20040729	US 2003-676956	20030930
WO 2006075993	A2	20060720	WO 2005-US11348	20050401
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:	US 2002-415222P	P 20020930
	US 2003-443169P	P 20030129
	US 2003-676956	A2 20030930
	US 2004-821638	A 20040408

OTHER SOURCE(S): CASREACT 143:7941; MARPAT 143:7941  
 GI



I



II

AB Disclosed are nucleosides I, wherein W-W2 are independently hydrogen and a pharmaceutically acceptable prodrug; R is hydrogen, alkyl; R1 is hydrogen,

alkyl, alkenyl, alkynyl; Y is a bond, CH<sub>2</sub>, O ; Y' is hydrogen, halo, hydroxyl, thio-alkyl, amino; Z is acyl, cyano, carboxyl, carboxyl ester, amide, halo, B(OH)<sub>2</sub>, imine, nitro, alkenyl, acetylenyl and methods for treating viral infections caused by a Flaviviridae family virus, such as hepatitis C virus. Thus, nucleoside II was prepared and used for the treatment of Hepatitis C virus infection. In general, compds. of this invention will be administered as pharmaceutical compns. by any one of the following routes: oral, systemic (e.g., transdermal, intranasal or by suppository), or parenteral (e.g., i.m., i.v. or s.c.) administration. The preferred manner of administration is oral using a convenient daily dosage regimen that can be adjusted according to the degree of affliction. Compns. can take the form of tablets, pills, capsules, semi-solids, powders, sustained release formulations, solns., suspensions, elixirs, aerosols, or any other appropriate compns. Another preferred manner for administering compds. of this invention is inhalation. This is an effective method for delivering a therapeutic agent directly to the respiratory tract, in particular for the treatment of diseases such as asthma and similar or related respiratory tract disorders.

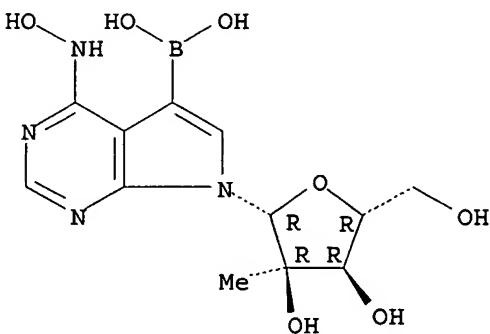
IT 852235-73-5P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP. (Preparation); USES (Uses);  
(preparation of nucleoside derivs. for treating Hepatitis C virus infection)

RN 852235-73-5 CAPLUS

CN Boronic acid, [4-(hydroxyamino)-7-(2-C-methyl-β-D-ribofuranosyl)-7H-pyrrolo[2,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2006 ACS ON STN *Kew*  
ED Entered STN 20 May 2005

ACCESSION NUMBER: 2005:431387 CAPLUS

DOCUMENT NUMBER: 142:447384

TITLE: Preparation of amino acid-containing nucleosides for treating viral infections

INVENTOR(S): Keicher, Jesse D.; Roberts, Christopher D.; Dyatkina, Natalia B.

PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 28 pp., Cont.-in-part of U.S. Ser. No. 861,090.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.

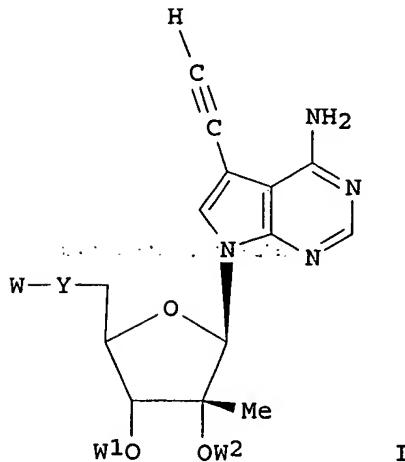
KIND DATE

APPLICATION NO.

DATE

US 2005107312	A1	20050519	US 2004-970321	20041020
US 2006079468	A1	20060413	US 2004-861090	20040604
PRIORITY APPLN. INFO.:			US 2003-515153P	P 20031027
			US 2004-861090	A2 20040604
			US 2004-602815P	P 20040818

OTHER SOURCE(S) : MARPAT 142:447384  
GI



**AB** Disclosed are nucleosides I, wherein Y is bond, -CH<sub>2</sub>- -O-; W-W2 are independently H, and a pharmaceutically acceptable prodrug; compns. and methods for treating viral infections caused by a Flaviviridae family virus, such as Hepatitis C virus. Thus, I (Y = O, W-W2 = H) was prepared and tested as antiviral agent against Hepatitis C virus (IC<sub>50</sub> values range from 0.09 to > 20 μM).

**IT** 851387-67-2P

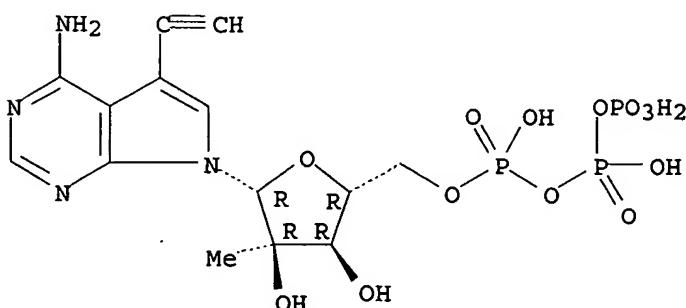
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid-containing nucleosides for treating viral infections)

RN 851387-67-2 CAPLUS

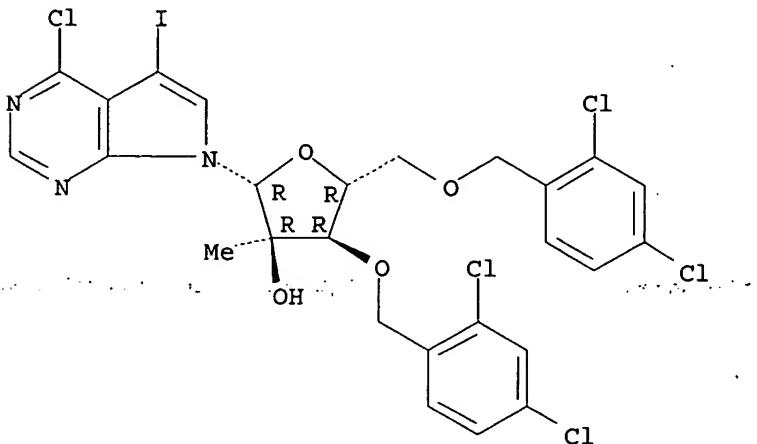
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5-ethynyl-7-[5-O-[hydroxy[[hydroxy(phosphonoxy)phosphinyl]oxy]phosphinyl]-2-C-methyl-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 847551-25-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of amino acid-containing nucleosides for treating viral  
 infections)  
 RN 847551-25-1 CAPLUS  
 CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-  
 methyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

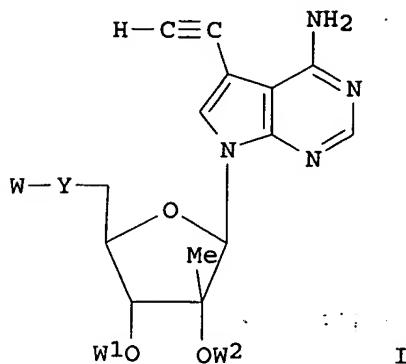


L5 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN (700 hits)  
 ED Entered STN: 13 May 2005  
 ACCESSION NUMBER: 2005:409541 CAPLUS  
 DOCUMENT NUMBER: 142:463969  
 TITLE: Preparation of amino acid-containing nucleosides for  
 treating viral infections  
 INVENTOR(S): Keicher, Jesse D.; Roberts, Christopher Don; Dyatkina,  
 Natalia B.  
 PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA  
 SOURCE: PCT Int. Appl., 65 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042556	A1	20050512	WO 2004-US34955	20041020
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2006079468	A1	20060413	US 2004-861090	20040604
AU 2004285923	A1	20050512	AU 2004-285923	20041020
CA 2542776	AA	20050512	CA 2004-2542776	20041020
EP 1680436	A1	20060719	EP 2004-810014	20041020

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK  
 PRIORITY APPLN. INFO.: US 2003-515153P P 20031027  
 US 2004-861090 A 20040604  
 US 2004-602815P P 20040818  
 WO 2004-US34955 W 20041020

OTHER SOURCE(S): MARPAT 142:463969  
 GI



AB Disclosed are nucleosides I, wherein Y is bond, -CH<sub>2</sub>- -O-; W-W2 are independently H, and a pharmaceutically acceptable prodrug; compns. and methods for treating viral infections caused by a Flaviviridae family virus, such as Hepatitis C virus. Thus, I (Y = O, W-W2 = H) was prepared and tested as antiviral agent against Hepatitis C virus (IC<sub>50</sub> values range from 0.09 to > 20 μM).

IT 851387-67-2P

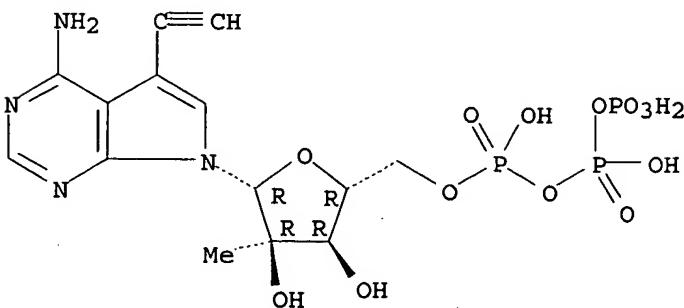
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid-containing nucleosides for treating viral infections)

RN 851387-67-2 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5-ethynyl-7-[5-O-[hydroxy[[hydroxy(phosphonoxy)phosphinyl]oxy]phosphinyl]-2-C-methyl-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry:



IT 847551-25-1P

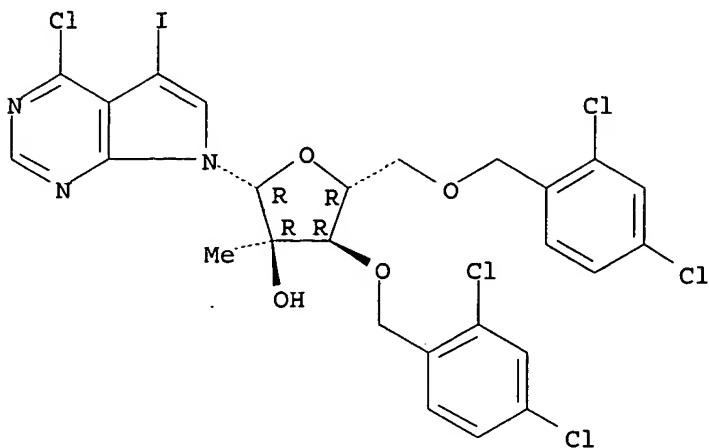
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino acid-containing nucleosides for treating viral infections)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 20 Apr 2005 *for New*

ACCESSION NUMBER: 2005:369125 CAPLUS

DOCUMENT NUMBER: 142:411590

TITLE: Preparation of nucleosides for treating viral infections caused by a Flaviviridae family virus

INVENTOR(S): Roberts, Christopher D.; Keicher, Jesse D.

PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 37 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

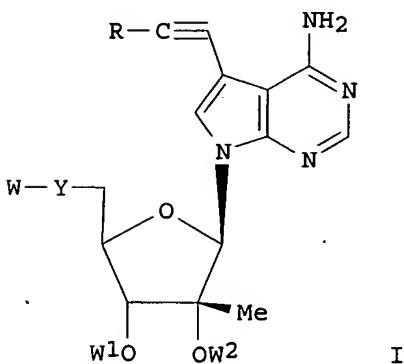
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005090463	A1	20050428	US 2004-861311	20040604
CA 2543116	AA	20050519	CA 2004-2543116	20041020
WO 2005044835	A1	20050519	WO 2004-US34756	20041020
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005215510	A1	20050929	US 2004-970641	20041020
EP 1682564	A1	20060726	EP 2004-795860	20041020
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
AU 2004295291	A1	20050616	AU 2004-295291	20041021
CA 2543090	AA	20050616	CA 2004-2543090	20041021
WO 2005054268	A1	20050616	WO 2004-US35271	20041021

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
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 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
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 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
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 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG  
 US 2005215511 A1 20050929 US 2004-971477 20041021  
 EP 1687321 A1 20060809 EP 2004-817811 20041021  
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 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK  
 PRIORITY APPLN. INFO.: US 2003-515153P P 20031027  
 US 2004-861090 A 20040604  
 US 2004-861219 A 20040604  
 US 2004-861311 A 20040604  
 US 2004-602815P P 20040818  
 WO 2004-US34756 W 20041020  
 WO 2004-US35271 W 20041021

OTHER SOURCE(S): MARPAT 142:411590  
GI



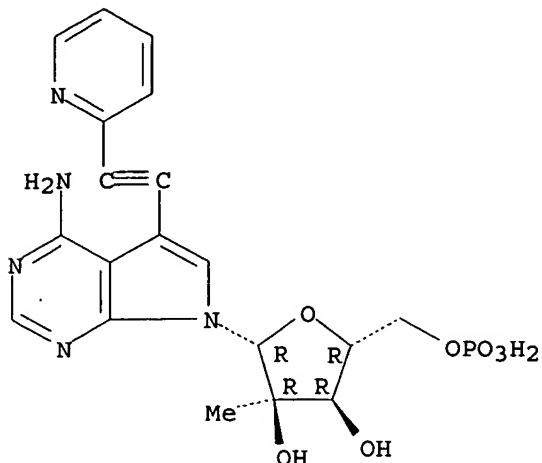
**AB** Disclosed are nucleosides I, wherein selected from the group consisting of silyl, amide, alkoxyalkyl, heteroaryl, substituted Ph, alkenyl, alkynyl, alkoxy, acyl, acylamino, acyloxy, aminoacyl, amidino, amino, carboxyl, carboxyl ester, cyano, cycloalkyl, cyclo-alkoxy, guanidino, halo, heteroaryl, hydrazino, hydroxyl, nitro, thiol, sulfonyl; and methods for treating viral infections caused by a Flaviviridae family virus, such as Hepatitis C virus. Thus, I (R = CONH<sub>2</sub>, Y = O, W-W<sub>2</sub> = H) was prepared and tested as antiviral agent against Hepatitis C virus. Y is CH or O; each of W-W<sub>2</sub> is independently hydrogen and a pharmaceutically acceptable prodrug; R is. Title nucleosides in combination with the administration of a therapeutically effective amount of one ore more agents active against HCV are reported.

**IT** 850338-32-8P  
**RL:** PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
           (preparation of nucleosides for treating viral infections caused by flaviviridae family virus)

**RN** 850338-32-8 CAPLUS  
**CN** 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-(2-C-methyl-5-O-phosphono-β-D-

ribofuranosyl)-5-(2-pyridinylethynyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



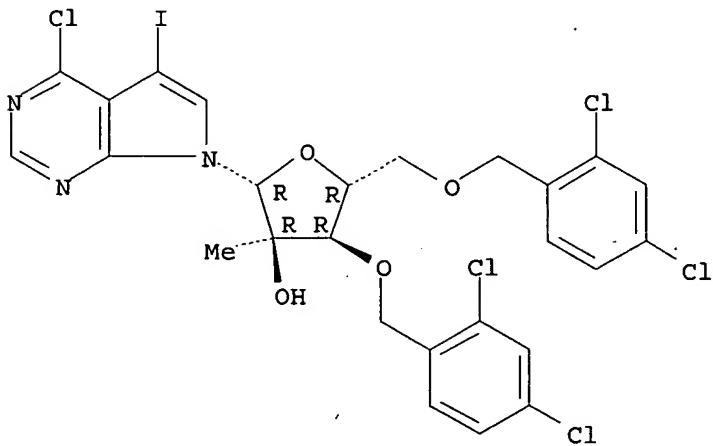
IT 847551-25-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of nucleosides for treating viral infections caused by flaviviridae family virus)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl-beta-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



LS ANSWER 12 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN *for new*  
ED Entered STN: 11 Mar 2005

ACCESSION NUMBER: 2005:216831 CAPLUS

DOCUMENT NUMBER: 142:298286

TITLE: Preparation of tricyclic nucleosides or nucleotides as antiviral and antitumor therapeutic agents

INVENTOR(S): Cook, Phillip Dan; Ewing, Gregory; Jin, Yi; Lambert, John; Prhavc, Marija; Rajappan, Vasanthakumar; Rajwanshi, Vivek K.; Sakthivel, Kandasamy

PATENT ASSIGNEE(S): Biota, Inc., USA

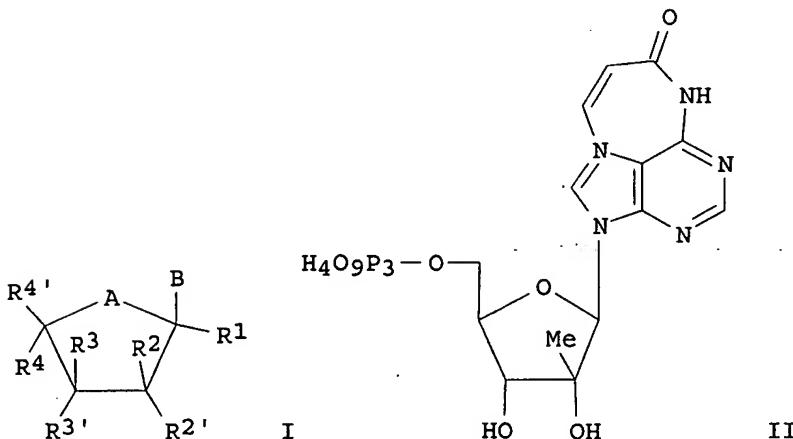
SOURCE: PCT Int. Appl., 106 pp.

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021568	A2	20050310	WO 2004-US27819	20040827
WO 2005021568	B1	20040609		
WO 2005021568	A3	20050421		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW		
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
AU 2004269026	A1	20050310	AU 2004-269026	20040827
CA 2537114	AA	20050310	CA 2004-2537114	20040827
EP 1660511	A2	20060531	EP 2004-782317	20040827
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK		
NO 2006000979	A	20060502	NO 2006-979	20060228
PRIORITY APPLN. INFO.:			US 2003-498425P	P 20030827
			WO 2004-US27819	W 20040827

OTHER SOURCE(S): MARPAT 142:298286

GI



**AB** Nucleosides and nucleotides containing a tricyclic base portion I, wherein A is O, S, CH<sub>2</sub>, NH, CHF, CF<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub>, R<sub>2'</sub>, R<sub>3</sub>, R<sub>3'</sub>, R<sub>4</sub> are independently H, F, Cl, iodo, Br, OH, SH, NH<sub>2</sub>, NHOH, NHHN<sub>2</sub>, N<sub>3</sub>, COOH, CN, CONH<sub>2</sub>, CSNH<sub>2</sub>, COOR, R, OR, SR, SSR, NHR, NR<sub>2</sub>; R<sub>4'</sub> is L-R<sub>5</sub>; L is O, S, NH, NR, CY<sub>2</sub>S, CY<sub>2</sub>NH, CY<sub>2</sub>, CY<sub>2</sub>CY<sub>2</sub>, CY<sub>2</sub>O CY<sub>2</sub>, CY<sub>2</sub>SCY<sub>2</sub>, CY<sub>2</sub>NHCY<sub>2</sub>; Y is H, F, Cl, Br, alkyl, alkenyl, alkynyl, R<sub>4'</sub> is OH, monophosphate, diphosphate, triphosphate; B is substituted tricyclic nucleobase derivs.; R is alkyl, alkenyl, alkynyl, aryl, acyl, aralkyl; thereof are useful for treating infectious diseases and proliferative disorders, such as viral infections or cancer resp. Thus, nucleotide II was prepared and tested in vitro as polymerase inhibitor, antiviral, and antitumor therapeutic agent. Title compds. were

typically cytotoxic in the range of 30 to > 100  $\mu$ M. II showed inhibitory of NS5B in the range of 100 to >1000 nM. Selected examples displayed IC<sub>50</sub> values in the range of to 100 nM.

IT 847551-17-1P

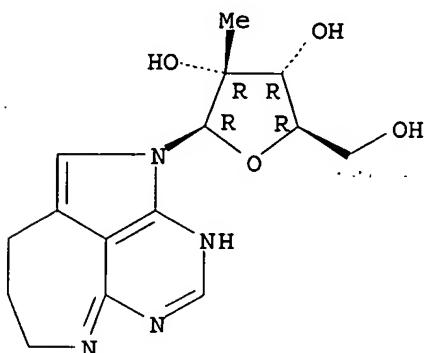
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic nucleosides or nucleotides as antiviral and antitumor therapeutic agents)

RN 847551-17-1 CAPLUS

CN 2H-2,3,5,6-Tetraazabenz[cd]azulene, 3,7,8,9-tetrahydro-2-(2-C-methyl- $\beta$ -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 847551-25-1P 847551-73-9P

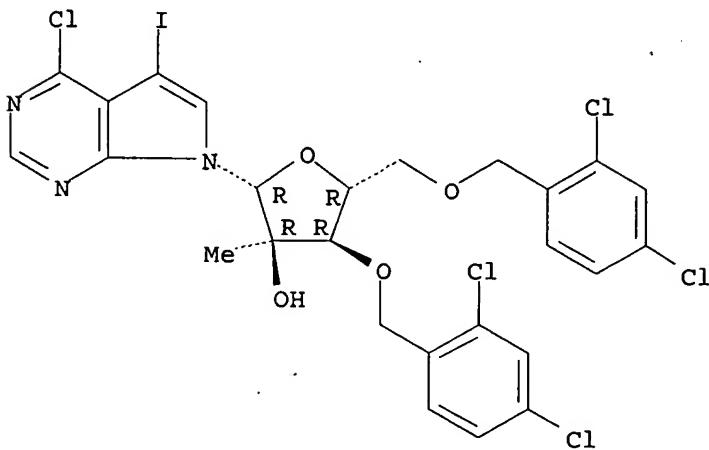
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic nucleosides or nucleotides as antiviral and antitumor therapeutic agents)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl- $\beta$ -D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

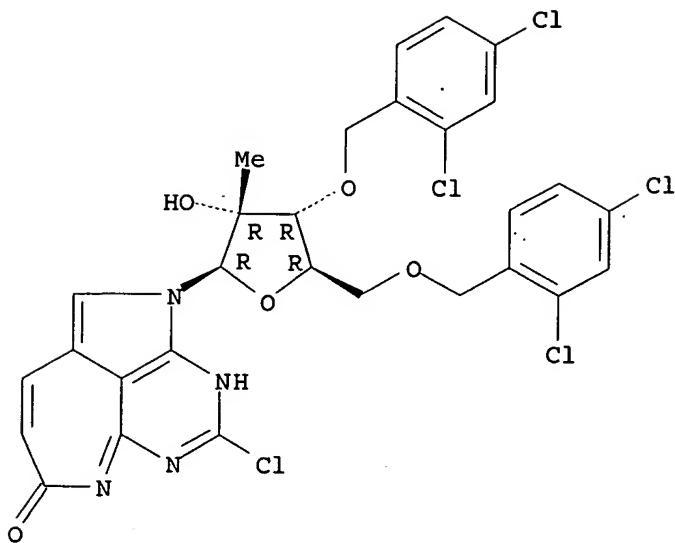
Absolute stereochemistry.



RN 847551-73-9 CAPLUS

CN 7H-2,3,5,6-Tetraazabenz[cd]azulen-7-one, 2-[3,5-bis-O-[(3,4-dichlorophenyl)methyl]-2-C-methyl- $\beta$ -D-ribofuranosyl]-4-chloro-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 11 Mar 2005

ACCESSION NUMBER: 2005:216597 CAPLUS

DOCUMENT NUMBER: 142:291323

TITLE: Compositions and methods for the treatment of severe acute respiratory syndrome (SARS)

INVENTOR(S): Hardee, Greg; Dellamary, Luis

PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 217 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020885	A2	20050310	WO 2004-US16196	20040521
WO 2005020885	A3	20050804		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2003-472774P P 20030521

AB The invention provides compns. and methods for treating a coronavirus infection, especially a SARS CoV infection. The compns. comprise an antiviral nucleoside or mimetic thereof, or an antiviral antisense agent, in a form suitable for pulmonary or nasal delivery. The methods comprise administration to a patient in need thereof the effective amount of an antiviral composition by pulmonary or nasal instillation.

IT 443642-48-6

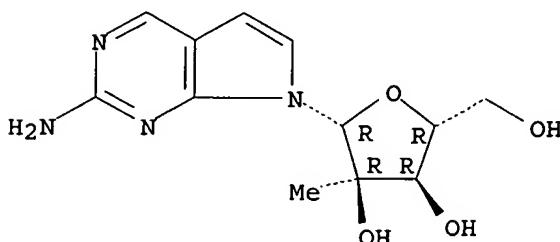
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(compns. and methods for treatment of severe acute respiratory syndrome)

RN 443642-48-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 28 Jan 2005

JW New

ACCESSION NUMBER: 2005:74691 CAPLUS

DOCUMENT NUMBER: 142:336574

TITLE: Synthesis of 2'-β-C-methyl toyocamycin and sangivamycin analogs as potential HCV inhibitors

AUTHOR(S): Ding, Yili; An, Haoyun; Hong, Zhi; Girardet, Jean-Luc  
CORPORATE SOURCE: Valeant Pharmaceuticals, Inc., Costa Mesa, CA, 92626,  
USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2005),  
15 (3), 725-727

PUBLISHER: CODEN: BMCLB8; ISSN: 0960-894X  
Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:336574

AB Coupling reaction of 2-β-C-methyl-1,2,3,4-tetra-O-benzoyl-D-ribofuranose with 4-amino-6-bromo-5-cyanopyrrolo[2,3-d]pyrimidine, followed by debromination and debenzylation, gave the 2'-β-C-Me toyocamycin in high yield. Based on this result, a series of 2'-β-C-methyl-4-substituted toyocamycin and sangivamycin analogs were synthesized for biol. screening as potential inhibitors of HCV RNA replication.

IT 677298-94-1P

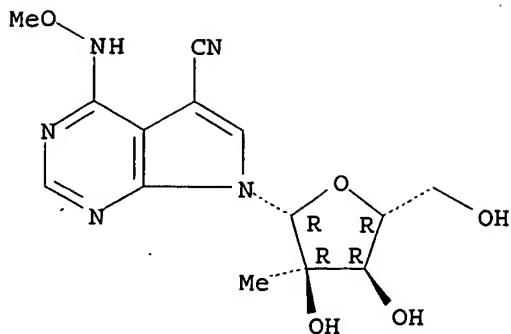
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of 2'-β-C-Me toyocamycin and sangivamycin analogs via coupling reaction as potential HCV inhibitors)

RN 677298-94-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4-(methoxyamino)-7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

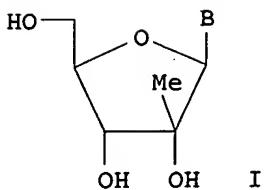
Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 15 Jul 2004 *HJ New*  
 ACCESSION NUMBER: 2004:566635 CAPLUS  
 DOCUMENT NUMBER: 141:89323  
 TITLE: Process for the production of 3'-nucleoside prodrugs  
 INVENTOR(S): Storer, Richard; Moussa, Adel; Mathieu, Steven; Qu, Lin  
 PATENT ASSIGNEE(S): Idenix Cayman Limited, Cayman I.  
 SOURCE: PCT Int. Appl., 57 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058792	A1	20040715	WO 2003-US41603	20031223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2511616	AA	20040715	CA 2003-2511616	20031223
AU 2003300434	A1	20040722	AU 2003-300434	20031223
US 2004181051	A1	20040916	US 2003-746395	20031223
EP 1575971	A1	20050921	EP 2003-814400	20031223
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BR 2003016868	A	20051025	BR 2003-16868	20031223
CN 1751058	A	20060322	CN 2003-80109820	20031223
JP 2006514038	T2	20060427	JP 2004-562599	20031223
NO 2005003557	A	20050908	NO 2005-3557	20050720
PRIORITY APPLN. INFO.:			US 2002-436150P	P 20021223
			WO 2003-US41603	W 20031223
OTHER SOURCE(S): GI			CASREACT 141:89323; MARPAT 141:89323	



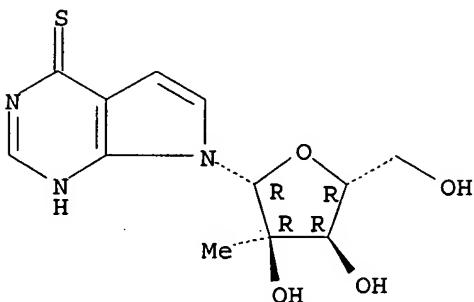
AB Provided is a single-step process for the regioselective 3'-acylation of a ribofuranosyl 2'- or 3'-branched nucleosides I, wherein B is nucleobase. These compds. are useful as antiviral agents, and in particular, can be used to treat Flaviviridae infections in a host in need thereof (no data). Thus, 9-(2'-C-methyl-3'-O-valinoyl- $\beta$ -D-ribofuranosyl)-6-N-methyladenine dihydrochloride was prepared via regioselective esterification of 9-(2'-C-methyl- $\beta$ -D-ribofuranosyl)-6-N-methyladenine with N-(tert-butoxycarbonyl)-L-valine.

IT 714249-89-5P 714250-08-5P  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (process for production of nucleoside prodrugs via regioselective esterification)

RN 714249-89-5 CAPPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidine-4-thione, 1,7-dihydro-7-(2-C-methyl- $\beta$ -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

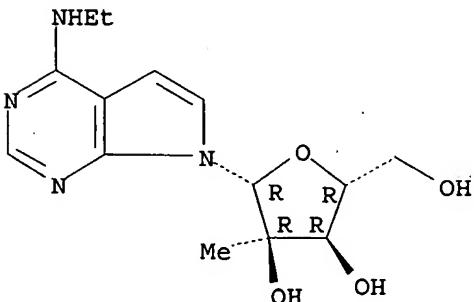
Absolute stereochemistry.



RN 714250-08-5 CAPPLUS

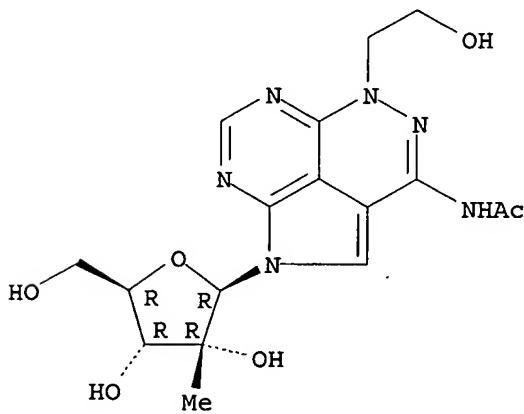
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-ethyl-7-(2-C-methyl- $\beta$ -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 2004:465503 CAPLUS  
 DOCUMENT NUMBER: 141:157373  
 TITLE: Synthesis of new 2'- $\beta$ -C-methyl related triciribine analogues as anti-HCV agents  
 AUTHOR(S): Smith, Kenneth L.; Lai, Vicky C. H.; Prigaro, Brett J.; Ding, Yili; Gunic, Esmir; Girardet, Jean-Luc; Zhong, Weidong; Hong, Zhi; Lang, Stanley; An, Haoyun  
 CORPORATE SOURCE: Valeant Pharmaceuticals International, Costa Mesa, CA, 92626, USA  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(13), 3517-3520  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 141:157373  
 AB Ten new  $\beta$ -D-ribofuranosyl and 2'- $\beta$ -C-methyl- $\beta$ -D-ribofuranosyl triciribine derivs. with various N4 and 6-N substituents on the tricyclic ring were synthesized from the corresponding toyocamycin and new 2'- $\beta$ -C-Me toyocamycin derivs. The inhibitory studies of these compds. in the HCV replicon assay reveal that some of them possess interesting anti-HCV properties with low cytotoxicity.  
 IT 729595-73-7P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (synthesis and anti-HCV anal. of  $\beta$ -D-ribofuranosyl and 2'- $\beta$ -C-methyl- $\beta$ -D-ribofuranosyl triciribine derivs. with various N4 and 6-N substituents on the tricyclic ring)  
 RN 729595-73-7 CAPLUS  
 CN Acetamide, N-[1,5-dihydro-5-(2-hydroxyethyl)-1-(2-C-methyl- $\beta$ -D-ribofuranosyl)-1,4,5,6,8-pentaazaacenaphthylen-3-yl] - (9CI) (CA INDEX NAME)

## Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 08 Apr 2004 *DoS Next*  
ACCESSION NUMBER: 2004:290484 CAPLUS  
DOCUMENT NUMBER: 140:327061  
TITLE: Nucleoside derivatives for treating hepatitis C virus infection  
INVENTOR(S): Roberts, Christopher Don; Dyatkina, Natalia B.  
PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA  
SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004028481	A2	20040408	WO 2003-US31433	20030930
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2499253	AA	20040408	CA 2003-2499253	20030930
AU 2003279797	A1	20040419	AU 2003-279797	20030930
EP 1572097	A2	20050914	EP 2003-773127	20030930
EP 1572097	A3	20051207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006505537	T2	20060216	JP 2004-540353	20030930
NO 2005001969	A	20050524	NO 2005-1969	20050422
PRIORITY APPLN. INFO.:			US 2002-415222P	P 20020930
			US 2003-443169P	P 20030129
			WO 2003-US31433	W 20030930

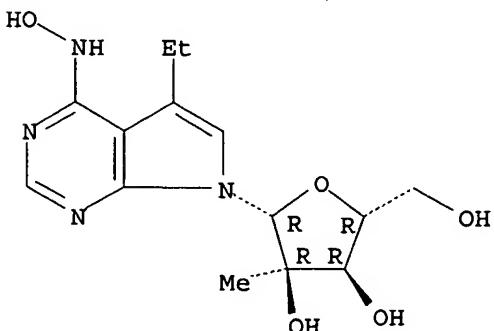
OTHER SOURCE(S): MARPAT 140:327061

AB Nucleoside compns. and methods for treating hepatitis C virus infections. Thus, 9-(2'-C-methyl-β-D-ribofuranosyl)-6-methoxyaminopurine was prepared by the reaction of 6-chloro-9-(2'-C-methyl-β-D-ribofuranosyl)purine and methxylamine. This compound exhibited anti-hepatitis C activity by inhibiting HCV polymerase.

IT 677298-88-3P 677298-93-0P 677298-94-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (nucleoside derivs. for treating hepatitis C virus infection)

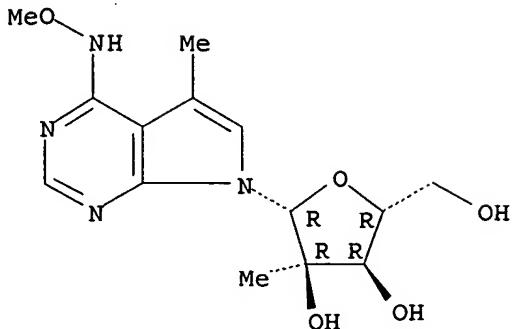
RN 677298-88-3 CAPLUS  
 CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 5-ethyl-1,7-dihydro-7-(2-C-methyl-β-D-ribofuranosyl)-, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 677298-93-0 CAPLUS  
 CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-7-(2-C-methyl-β-D-ribofuranosyl)-, O-methyloxime (9CI) (CA INDEX NAME)

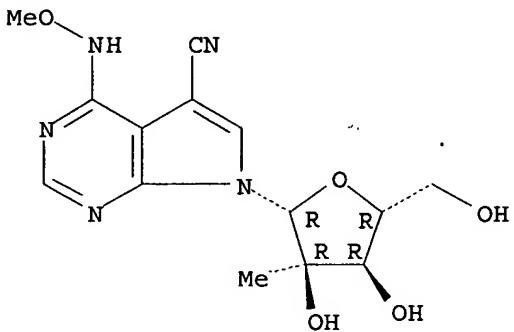
Absolute stereochemistry.



RN 677298-94-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4-(methoxyamino)-7-(2-C-methyl-beta-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 677299-14-8P

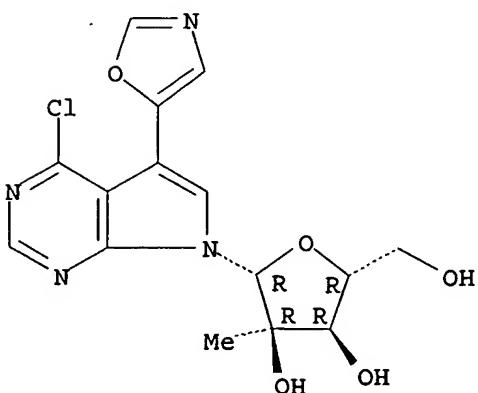
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(nucleoside derivs. for treating hepatitis C virus infection)

RN 677299-14-8 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-7-(2-C-methyl-beta-D-ribofuranosyl)-5-(5-oxazolyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 07 Dec 2003

ACCESSION NUMBER: 2003:951160 CAPLUS

DOCUMENT NUMBER: 140:13688

TITLE:

Oligonucleotides having modified nucleoside units with various linkages, and their uses as antisense agents, ribozymes, aptamers, siRNA, probes, and primers, or when hybridized to RNA, as substrates for RNA cleaving enzymes

for New

INVENTOR(S): Eldrup, Anne; Cook, Phillip Dan; Parshall, Lynne B.

PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 161 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003100017	A2	20031204	WO 2003-US16526	20030523
WO 2003100017	A3	20040826		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003241621	A1	20031212	AU 2003-241621	20030523
US 2004014108	A1	20040122	US 2003-444298	20030523
PRIORITY APPLN. INFO.:			US 2002-383358P	P 20020524
			WO 2003-US16526	W 20030523

OTHER SOURCE(S): MARPAT 140:13688

AB Disclosed are oligonucleotides that include one or more modified nucleoside units. The examples present the representative preparation of modified nucleosides and nucleoside amidites, for incorporation into said oligonucleotides. The oligonucleotides are particularly useful as antisense agents, ribozymes aptamer, siRNA agents, probes and primers or, when hybridized to an RNA, as a substrate for RNA cleaving enzymes including Rnase H and dsRNase.

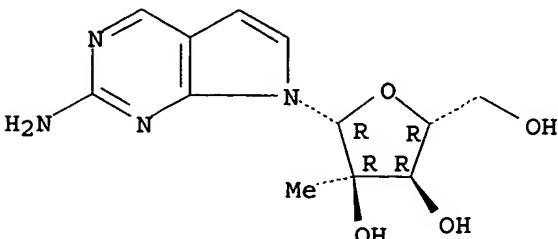
IT 443642-48-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of modified nucleosides and nucleoside amidites for incorporation into oligonucleotides, and uses)

RN 443642-48-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 07 Dec 2003 *JW New*  
 ACCESSION NUMBER: 2003:951042 CAPLUS  
 DOCUMENT NUMBER: 140:24085  
 TITLE: Oligonucleotides having modified nucleoside units with various linkages, and their uses as antisense agents, ribozymes, aptamers, siRNA, probes, and primers, or when hybridized to RNA, as substrates for RNA cleaving enzymes  
 INVENTOR(S): Eldrup, Anne; Cook, Phillip Dan; Parshall, B. Lynne  
 PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 271 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099840	A1	20031204	WO 2003-US16502	20030523
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003237249	A1	20031212	AU 2003-237249	20030523
US 2004014957	A1	20040122	US 2003-444628	20030523
PRIORITY APPLN. INFO.:			US 2002-383438P	P 20020524
			WO 2003-US16502	W 20030523

OTHER SOURCE(S): MARPAT 140:24085

AB Disclosed are oligonucleotides that include one or more modified nucleoside units. The examples present the representative preparation of modified nucleosides and nucleoside amidites, for incorporation into said oligonucleotides. The oligonucleotides are particularly useful as antisense agents, ribozymes aptamer, siRNA agents, probes and primers or, when hybridized to an RNA, as a substrate for RNA cleaving enzymes including Rnase H and dsRNase.

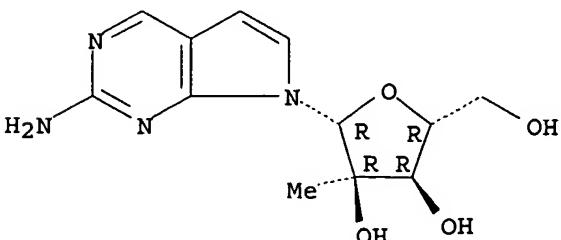
IT 443642-48-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(oligonucleotides having modified nucleoside units with various linkages)

RN 443642-48-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 22 Aug 2003 (JDD New)  
ACCESSION NUMBER: 2003:656596 CAPLUS  
DOCUMENT NUMBER: 139:191380  
TITLE: Methods of inhibiting orthopoxvirus replication with nucleoside compounds  
INVENTOR(S): Olsen, David B.; Lafemina, Robert L.; Eldrup, Anne B.; Bera, Sanjib  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.  
SOURCE: PCT Int. Appl., 99 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068244	A1	20030821	WO 2003-US3703	20030207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2474563	AA	20030821	CA 2003-2474563	20030207
AU 2003209045	A1	20030904	AU 2003-209045	20030207
EP 1476169	A1	20041117	EP 2003-707772	20030207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005164960	A1	20050728	US 2003-504445	20030207
JP 2005527499	T2	20050915	JP 2003-567425	20030207
PRIORITY APPLN. INFO.:			US 2002-356805P	P 20020213
			WO 2003-US3703	W 20030207

OTHER SOURCE(S): MARPAT 139:191380

AB The present invention provides methods of inhibiting orthopoxvirus replication and/or treating orthopoxvirus infection with certain nucleoside compds. and derivs. thereof. These compds. are particularly useful as inhibitors of vaccinia virus and variola virus replication and/or for the treatment of vaccinia virus and variola virus infection. The nucleoside compds. may be administered alone or in combination with other agents active against orthopoxvirus infection, in particular against vaccinia virus or variola virus infection. Another aspect of the present invention provides for the use of such nucleoside compds. in the manufacture of a medicament for the inhibition of orthopoxvirus replication and/or for the treatment of orthopoxvirus infection. Yet a further aspect of the present invention provides such nucleoside compds. for use as a medicament for the inhibition of orthopoxvirus replication and/or for the treatment of orthopoxvirus infection.

IT 443642-48-6P

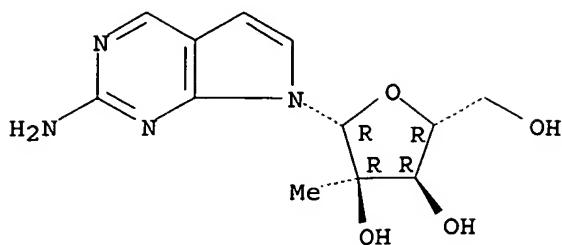
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibiting orthopoxvirus replication with nucleoside compds.)

RN 443642-48-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 01 Aug 2003

ACCESSION NUMBER: 2003:590940 CAPLUS *for New*

DOCUMENT NUMBER: 139:133787

TITLE: Preparation of deazapurine nucleoside analogs as antiviral agents

INVENTOR(S): An, Haoyun; Ding, Yili; Chamakura, Varaprasad; Hong, Zhi

PATENT ASSIGNEE(S): Ribapharm Inc., USA

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

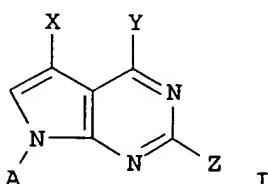
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

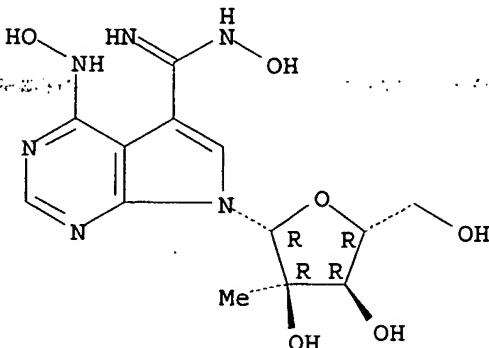
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003061576	A2	20030731	WO 2003-US1545	20030117
WO 2003061576	A3	20040401		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003209285	A1	20030902	AU 2003-209285	20030117
PRIORITY APPLN. INFO.:			US 2002-350296P	P 20020117
			WO 2003-US1545	W 20030117

OTHER SOURCE(S): MARPAT 139:133787  
GI



AB Methods, compns., and uses for various deazapurine nucleoside libraries and library compds. I are provided. Particularly preferred deazapurine nucleosides include 7-deazapurine nucleosides, 7-deaza-8-azapurine nucleosides, toyocamycin nucleoside analogs, 3-deazapurine nucleosides, and 9-deazapurine nucleosides, while preferred uses especially include use of such compds. as pharmacol., and particularly antiviral agents.  
 4-N,N-dimethylamino-7-( $\beta$ -D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine-5-N-hydroxycarbamidine was prepared and tested in vitro as antiviral agent.  
 IT 565455-29-0  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of deazapurine nucleoside analogs as antiviral agents)  
 RN 565455-29-0 CAPLUS  
 CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carboximidamide, N-hydroxy-4-(hydroxyamino)-7-(2-C-methyl- $\beta$ -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



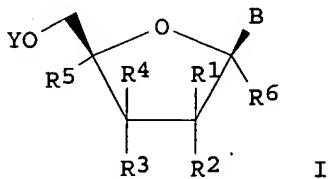
L5 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 26 Jul 2002  
 ACCESSION NUMBER: 2002:555629 CAPLUS  
 DOCUMENT NUMBER: 137:125359  
 TITLE: Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase  
 INVENTOR(S): Carroll, Steven S.; Lafemina, Robert L.; Hall, Dawn L.; Himmelberger, Amy L.; Kuo, Lawrence C.; Maccoss, Malcolm; Olsen, David B.; Rutkowski, Carrie A.; Tomassini, Joanne E.; An, Haoyun; Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Guinasso, Charles J.; Prhavc, Marija; Prakash, Thazha P.  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.  
 SOURCE: PCT Int. Appl., 235 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057425	A2	20020725	WO 2002-US1531	20020118
WO 2002057425	A3	20050421		
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 CA 2433878 AA 20020725 CA 2002-2433878 20020118  
 US 2002147160 A1 20021010 US 2002-52318 20020118  
 US 6777395 B2 20040817  
 CN 1498221 A 20040519 CN 2002-806977 20020118  
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 US 7105499 B2 20060912  
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 US 2001-263313P P 20010122  
 US 2001-282069P P 20010406  
 US 2001-299320P P 20010619  
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 US 2002-52318 A3 20020118  
 WO 2002-US1531 W 20020118  
 US 2003-431657 B1 20030507  
 US 2003-688691 A1 20031017

OTHER SOURCE(S) : GI

MARPAT 137:125359



**AB** The present invention provides the preparation of nucleoside compds. I, wherein B is nucleobase, Y is H, alkylcarbonyl, phosphate; R1 is H, alkenyl, alkynyl, alkyl; R2 and R3 are independently H, OH, halogen, alkyl, alkoxy, alkenyloxy, alkylthio, alkylcarbonyloxy, aryloxycarbonyl, azido, amino, alkylamino; R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered heterocycle; R4 is H, OH, SH, NH<sub>2</sub>, alkylamino, cycloalkylamino, halogen, alkyl, alkoxy, CF<sub>3</sub>; R5 and R6 are independently H, hydroxymethyl, Me, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-1-(2-C-methyl-β-D-ribofuranosyl)-1H-pyrazolo[3,4-d]pyrimidine was prepared as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100 μM. The compds.

of the present invention were also evaluated for their ability to affect the replication of Hepatitis C Virus RNA in cultured hepatoma (HuH-7) cells containing a sub-genomic HCV Replicon.

IT 443642-48-6P

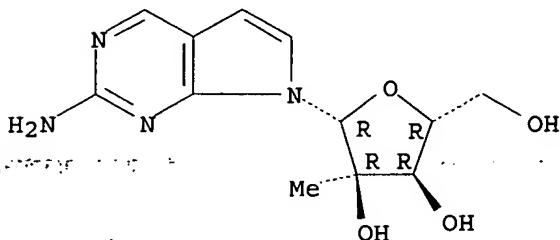
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)

RN 443642-48-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 26 Jul 2002

ACCESSION NUMBER: 2002:555511 CAPLUS

DOCUMENT NUMBER: 137:109450

TITLE: Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase

INVENTOR(S): Carroll, Steven S.; Maccoss, Malcolm; Olsen, David B.; Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Prakash, Thazha P.; Prhavc, Marija; Song, Quanlai

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057287	A2	20020725	WO 2002-US3086	20020118
WO 2002057287	A3	20021010		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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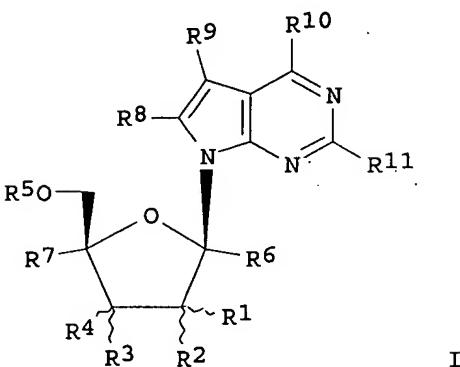
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US 2004072788	A1	20040415	US 2003-431657
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BG 108000	A	20040831	BG 2003-108000
NO 2003003289	A	20030919	NO 2003-3289
US 2004067901	A1	20040408	US 2003-688691
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			US 2001-282069P P 20010406
			US 2001-299320P P 20010619
			US 2001-344528P P 20011025
			US 2002-52318 A3 20020118
			WO 2002-US3086 W 20020118
			US 2003-431657 B1 20030507
			US 2003-688691 A1 20031017

OTHER SOURCE(S) :

MARPAT 137:109450

GT



AB The present invention provides nucleoside compds. I, wherein R1 is alkenyl, alkynyl, alkyl, wherein alkyl is unsubstituted or substituted with hydroxy, amino, alkoxy, alkylthio, one to three fluorine atoms; R2 is hydrogen, fluorine, hydroxy, mercapto, alkoxy, alkyl; or R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered saturated monocyclic ring system optionally containing a heteroatom selected from O, S, and NC-alkyl; R3 and R4 are each independently hydrogen, cyano, azido, halogen, hydroxy, mercapto, amino, alkoxy, alkenyl, alkynyl, alkyl; R5 is hydrogen, alkylcarbonyl, phosphate; R6 and R7 are each independently hydrogen, Me, hydroxymethyl, or fluoromethyl; R8 is hydrogen, alkyl, alkynyl, halogen, cyano, carboxy, alkylloxycarbonyl, azido, amino, alkylamino, di(alkyl)amino, hydroxy, alkoxy, alkylthio, alkylsulfonyl, alkylaminomethyl, cycloheteroalkyl; R9 is hydrogen, cyano, nitro, alkyl, NHCONH<sub>2</sub>, amide, thioamide, ester, C(=NH)NH<sub>2</sub>, hydroxy, alkoxy, amino, alkylamino, di(alkyl)amino, halogen, (1,3-oxazol-2-yl), (1,3-thiazol-2-yl), or (imidazol-2-yl); R10 and R11 are each independently hydrogen, hydroxy, halogen, alkoxy, amino, alkylamino, di(alkyl)amino, cycloalkylamino, di(cycloalkyl)amino, cycloheteroalkyl, and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes

pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-7-(2-C-methyl- $\beta$ -D-arabinofuranosyl)-7H-pyrrolo[2,3-d]pyrimidine was prepared as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100  $\mu$ M. The nucleoside derivs. were also screened for cytotoxicity against cultured hepatoma (HuH-7) cells containing a sub-genomic HCV Replicon in an MTS cell-based assay.

IT 443642-48-6P 443643-13-8P

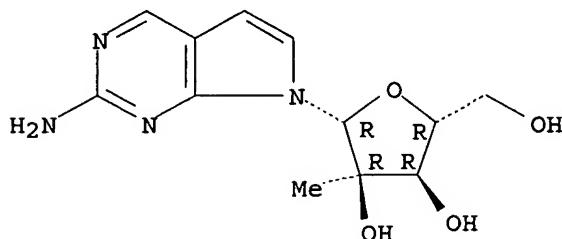
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)

RN 443642-48-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl- $\beta$ -D-ribofuranosyl)-(9CI) (CA INDEX NAME)

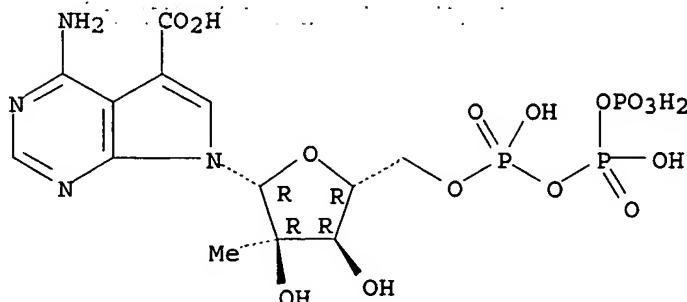
Absolute stereochemistry.



RN 443643-13-8 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carboxylic acid, 4-amino-7-[5-O-[hydroxy[[hydroxy(phosphonoxy)phosphinyl]oxy]phosphinyl]-2-C-methyl- $\beta$ -D-ribofuranosyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



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NEWS 8 MAY 30 The F-Term thesaurus is now available in CA/CAplus  
NEWS 9 JUN 02 The first reclassification of IPC codes now complete in  
INPADOC  
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NEWS 11 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL  
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NEWS 13 JUL 14 FSTA enhanced with Japanese patents  
NEWS 14 JUL 19 Coverage of Research Disclosure reinstated in DWPI  
NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive  
NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced  
NEWS 17 AUG 30 CA(SM)/CAplus(SM) Austrian patent law changes  
NEWS 18 SEP 11 CA/CAplus enhanced with more pre-1907 records  
NEWS 19 SEP 21 CA/CAplus fields enhanced with simultaneous left and right  
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MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
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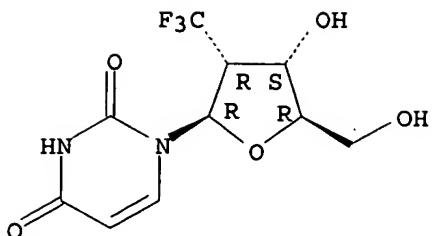
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L2    2 ANSWERS    REGISTRY    COPYRIGHT 2006 ACS on STN  
IN    Uridine, 2'-deoxy-2'-(trifluoromethyl)- (9CI)  
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Absolute stereochemistry. Rotation (-).

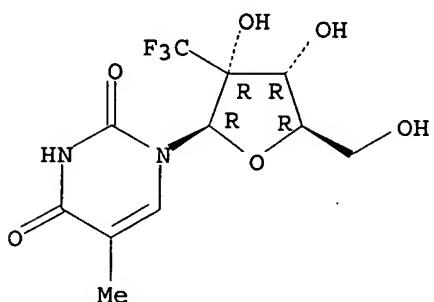


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 MF C11 H13 F3 N2 O6

Absolute stereochemistry:



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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20 ANSWERS

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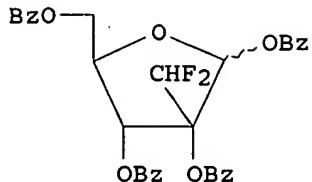
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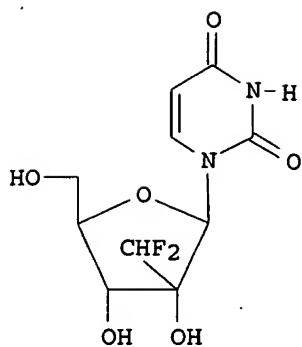
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L4   ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN  
ED   Entered STN: 29 Aug 2005

ACCESSION NUMBER:       2005:921262 CAPLUS  
DOCUMENT NUMBER:        143:422567  
TITLE:                   Synthesis of 2'-C-Difluoromethylribonucleosides and  
                          Their Enzymic Incorporation into Oligonucleotides  
AUTHOR(S):              Ye, Jing-Deng; Liao, Xiangmin; Piccirilli, Joseph A.  
CORPORATE SOURCE:      Howard Hughes Medical Institute, Departments of  
                          Biochemistry & Molecular Biology and Chemistry,  
                          University of Chicago, Chicago, IL, 60637, USA  
SOURCE:                  Journal of Organic Chemistry (2005), 70(20), 7902-7910  
PUBLISHER:             CODEN: JOCEAH; ISSN: 0022-3263  
DOCUMENT TYPE:          American Chemical Society  
LANGUAGE:                Journal  
GI



I



II

**AB** Nucleosides bearing a branched ribose have significant promise as therapeutic agents and bio-technol. and biochem. tools. Here we describe synthetic entry into a new subclass of these analogs, 2'-C- $\beta$ -difluoromethylribonucleosides. We constructed the glycosylating agent I in three steps from 1,3,5-tri-O-benzoyl- $\alpha$ -D-ribofuranose. The key steps included nucleophilic addition of difluoromethyl Ph sulfone to 2-keto-ribose followed by mild and efficient reductive de-sulfonation. Ribofuranose I glycosylated bis(trimethylsilyl)uracil directly, giving difluoromethyluridine II efficiently after deprotection. Conversion of I to the corresponding ribofuranosyl bromide allowed efficient access to C, A, and G analogs. A related approach starting from Me D-ribofuranose offered synthetic entry into the diastereomeric manifold, 2'-C- $\alpha$ -difluoromethyl-arabino- $\alpha$ -pyrimidine. To incorporate 2'-C- $\beta$ -difluoromethyluridine into an oligodeoxyribonucleotide we converted II to the bis-phosphate and carried out successive ligation reactions using T4 RNA ligase and T4 DNA ligase. Analogous to natural RNA linkages, the resulting oligonucleotide undergoes hydroxide-catalyzed backbone scission at the difluoromethyluridine residue via internal trans-phosphorylation.

**IT** 867287-43-2P 867287-57-8P

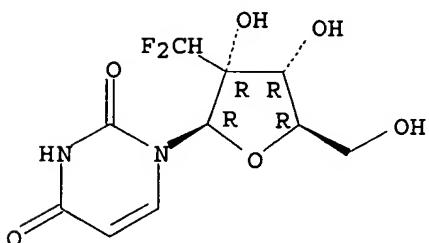
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of difluoromethylribonucleosides and their enzymic incorporation into oligonucleotides)

**RN** 867287-43-2 CAPLUS

**CN** Uridine, 2'-C-(difluoromethyl)- (9CI) (CA INDEX NAME)

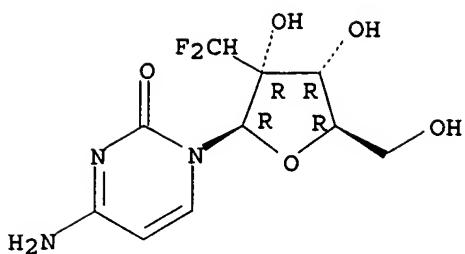
Absolute stereochemistry.



**RN** 867287-57-8 CAPLUS

**CN** Cytidine, 2'-C-(difluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



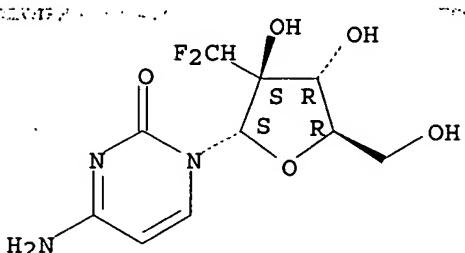
IT 867287-79-4P 867287-80-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of difluoromethylribonucleosides and their enzymic incorporation into oligonucleotides)

RN 867287-79-4 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[2-C-(difluoromethyl)-alpha-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

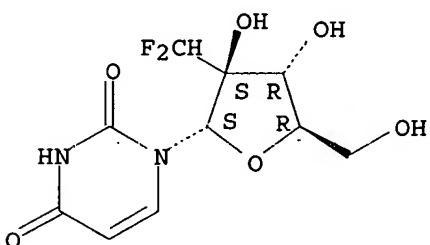
Absolute stereochemistry.



RN 867287-80-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-C-(difluoromethyl)-alpha-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

52

THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 02 Jan 2004

ACCESSION NUMBER: 2004:2898 CAPLUS

DOCUMENT NUMBER: 140:42424

TITLE: Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase

INVENTOR(S): Carroll, Steven S.; Olsen, David B.; Durette, Philippe L.; Bhat, Balkrishen; Dande, Prasad; Eldrup, Anne B.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 43 pp.

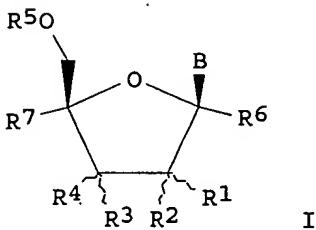
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000858	A2	20031231	WO 2003-US19172	20030617
WO 2004000858	A3	20050512		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488534	AA	20031231	CA 2003-2488534	20030617
AU 2003269890	A1	20040106	AU 2003-269890	20030617
EP 1551421	A2	20050713	EP 2003-751777	20030617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005530843	T2	20051013	JP 2004-515870	20030617
PRIORITY APPLN. INFO.:			US 2002-390579P	P 20020621
			WO 2003-US19172	W 20030617

OTHER SOURCE(S): MARPAT 140:42424  
 GI

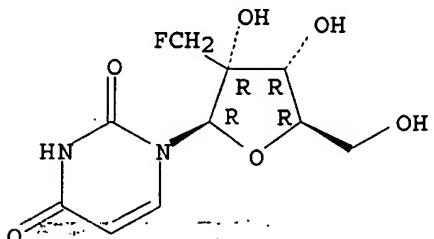


*Janice*

AB The present invention provides nucleoside compds. I, wherein B is nucleobase; R1 is fluoromethyl, difluoromethyl, trifluoromethyl; R2 is H, F, amino, OH, SH, alkoxy, alkylcarbonyloxy, alkyl; R3 and R4 are independently H, Cn, N3, halogen, OH, SH, amino, alkoxy, alkylcarconyloxy, alkenyl, alkynyl; R5 is H, alkylcarbonyl, P3O9H4, P2O6H3, phosphophonyl; R6 and R7 independently H, Me, hydroxymethyl, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 2-amino-9-(2-C-fluoromethyl-β-D-ribofuranosyl)-3,9-dihydropurin-6-one was prepared and tested as inhibitor of RNA-dependent RNA viral polymerase. Title compds. tested in the HCV NS5B polymerase assay exhibited IC50's

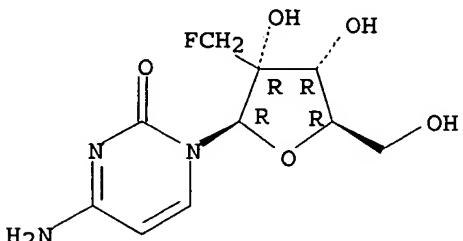
less than 100  $\mu$ mol.  
IT 510765-51-2P 636581-91-4P 636581-92-5P  
636581-93-6P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(preparation of nucleoside derivs. as inhibitors of RNA-dependent RNA viral  
polymerase)  
RN 510765-51-2 CAPLUS  
CN Uridine, 2'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



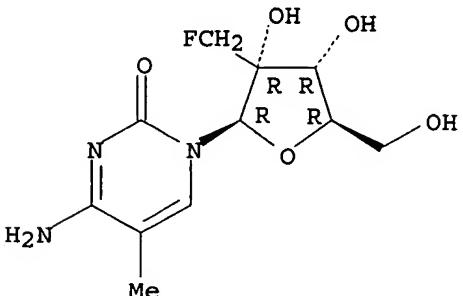
RN 636581-91-4 CAPLUS  
CN Cytidine, 2'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



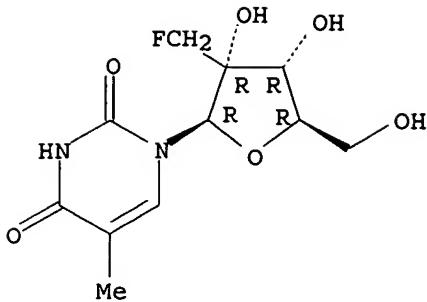
RN 636581-92-5 CAPLUS  
CN Cytidine, 2'-C-(fluoromethyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636581-93-6 CAPLUS  
CN Uridine, 2'-C-(fluoromethyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



*Ans New*

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 29 Jun 2003

ACCESSION NUMBER: 2003:491895 CAPLUS

DOCUMENT NUMBER: 139:323734

TITLE:

Synthesis and antiviral evaluation of  
2'-deoxy-2'-C-trifluoromethyl  $\beta$ -D-ribonucleoside  
analogues bearing the five naturally occurring nucleic  
acid bases

AUTHOR(S): Jeannot, Frederic; Gosselin, Gilles; Mache, Christophe  
CORPORATE SOURCE: Laboratoire de Chimie Organique Biomoleculaire de  
Synthese, UMR 5625 CNRS-Universite Montpellier II,  
Montpellier, 34095, Fr.

SOURCE:

Organic & Biomolecular Chemistry (2003), 1(12),  
2096-2102

CODEN: OBCRAK; ISSN: 1477-0520

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:323734

AB 2'-Deoxy-2'-C-trifluoromethyl- $\beta$ -D-ribonucleoside derivs. bearing the  
five naturally occurring acid bases have been synthesized. All these  
derivs. were prepared by glycosylation reactions of purine and pyrimidine  
bases with a suitable peracylated 2-deoxy-2-C-trifluoromethyl sugar  
precursor to afford anomeric mixts. of protected nucleosides. After separation  
and deprotection, the resulting  $\beta$ -nucleoside analogs were tested for  
their activity against HIV, HBV and several RNA viruses. However, none of  
these compds. showed significant antiviral activity nor cytotoxicity.

IT 159312-37-5P 614735-32-9P 614735-33-0P

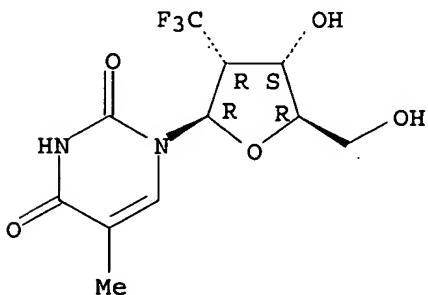
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL  
(Biological study); PREP (Preparation)

(synthesis and antiviral evaluation of deoxy-C-trifluoromethyl- $\beta$ -D-  
ribonucleoside analogs bearing the five naturally occurring nucleic  
acid bases)

RN 159312-37-5 CAPLUS

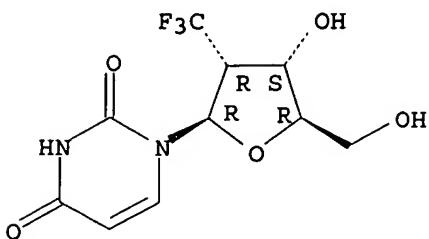
CN Uridine, 2'-deoxy-5-methyl-2'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



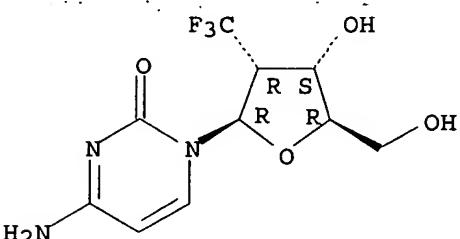
RN 614735-32-9 CAPLUS  
CN Uridine, 2'-deoxy-2'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 614735-33-0 CAPLUS  
CN Cytidine, 2'-deoxy-2'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

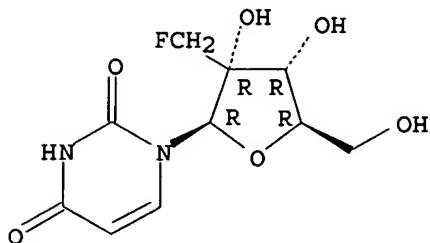


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 14 Feb 2003 *fu New*  
ACCESSION NUMBER: 2003:114368 CAPLUS  
DOCUMENT NUMBER: 138:304462  
TITLE: Synthesis of 2'-C- $\beta$ -Fluoromethyluridine  
AUTHOR(S): Dai, Qing; Piccirilli, Joseph A.  
CORPORATE SOURCE: Howard Hughes Medical Institute, Department of Biochemistry & Molecular Biology, Department of Chemistry, The University of Chicago, Chicago, IL, 60637, USA  
SOURCE: Organic Letters (2003), 5(6), 807-810  
CODEN: ORLEF7; ISSN: 1523-7060  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 138:304462  
AB 2'-C- $\beta$ -Fluoromethyluridine represents both a potentially important biol. agent and a tool for biochem. anal. Here the authors describe the first synthesis of this compound starting from uridine. The key steps include protection of the uracil base with methoxyethoxymethyl (MEM) chloride, conversion to the corresponding 2'-C- $\alpha$ -epoxide, and regioselective opening of the oxirane ring with potassium fluoride/hydrogen fluoride. Subsequent acetylation of the 3'- and 5'-hydroxyl groups enables MEM removal using B-bromocatecholborane. Deacetylation generates the parent nucleoside, 2'-C- $\beta$ -fluoromethyluridine.  
IT 510765-51-2P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of C- $\beta$ -fluoromethyluridine from uridine via uracil

protection with MEM, epoxidn. and regioselective ring opening)  
RN 510765-51-2 CAPLUS  
CN Uridine, 2'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

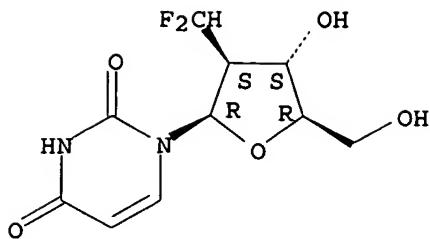
Absolute stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 01 May 2002  
ACCESSION NUMBER: 2002:323128 CAPLUS *T51(a)??*  
DOCUMENT NUMBER: 137:140718  
TITLE: New method for the preparation of 3'- and 2'-O-phosphoramidites of 2'- and 3'-difluoromethyluridine derivatives  
AUTHOR(S): Serafinowski, Paweł J.; Brown, Catherine A.  
CORPORATE SOURCE: CRC Centre for Cancer Therapeutics at the Institute of Cancer Research, Surrey, SM2 5NG, UK  
SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2002), 21(1), 1-13  
CODEN: NNNAFY; ISSN: 1525-7770  
PUBLISHER: Marcel Dekker, Inc.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 137:140718  
AB Hydrogenation of 2'-deoxy-2'-difluoromethylene-5'-O-dimethoxytrityluridine and 3'-deoxy-3'-difluoromethylene-5'-O-dimethoxytrityluridine, gave the corresponding 2'- and 3'-difluoromethyluridine derivs (I). Detritylation of I resulted in the formation of 1-(2-deoxy-2-C-difluoromethyl- $\beta$ -D-arabino-pentofuranosyl)uracil and 1-(3-deoxy-3-C-difluoromethyl- $\beta$ -D-xylo-pentofuranosyl)- uracil as well as corresponding minor ribo- isomers. 1-(2-Deoxy-2-C-difluoromethyl- $\beta$ -D-arabino-pentofuranosyl)uracil and its ribo- isomer were also obtained from 2'-deoxy-2'-difluoromethylene-3',5'-O-(tetraisopropylidisiloxane-1,3-diyl)uridine. Finally, phosphorylation of deoxy-difluoromethyl-dimethoxy-trityl-pentofuranosyl uracil provided the title 2'- and 3'-O-phosphoramidites.  
IT 349654-62-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of 3'- and 2'-O-phosphoramidites of 2'- and 3'-difluoromethyluridine derivs. via hydrogenation and phosphorylation of uracil derivs. as key steps)  
RN 349654-62-2 CAPLUS  
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-2-(difluoromethyl)- $\beta$ -D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



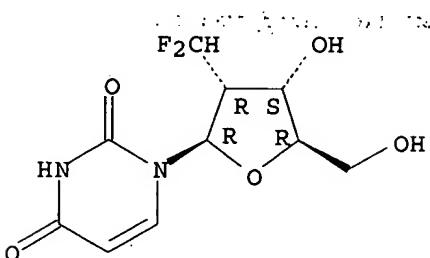
IT 444811-82-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of 3'- and 2'-O-phosphoramidites of 2'- and  
3'-difluoromethyluridine derivs. via hydrogenation and phosphitylation  
of uracil derivs. as key steps)

RN 444811-82-9 CAPLUS

CN Uridine, 2'-deoxy-2'-(difluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 14 Sep 2001 (18/1)

ACCESSION NUMBER: 2001:675066 CAPLUS

DOCUMENT NUMBER: 136:37846

TITLE: Synthesis of some 2'- and 3'-fluoroalkyl substituted nucleosides and oligonucleotides

AUTHOR(S): Serafinowski, Pawel J.; Brown, Catherine A.; Barnes, Colin L.

CORPORATE SOURCE: CRC Centre for Cancer Therapeutics, Institute of Cancer Research, Surrey, SM2 5NG, UK

SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2001), 20(4-7), 921-925

PUBLISHER: CODEN: NNNAFY; ISSN: 1525-7770  
Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:37846

AB The 2'- and 3'-fluoroalkyl substituted nucleosides were prepared by hydrogenation of 2'-deoxy-2'-difluoromethylene-5'-O-dimethoxytrityluridine and 3'-deoxy-3'-difluoromethylene-5'-O-dimethoxytrityluridine, followed by detritylation, which gave two pairs of diastereoisomers (threo/erythro) each. Phosphitylation of prepared compds. furnished the corresponding 2'- and 3'-O-phosphoramidites. Reaction of 2'-deoxy-2'-difluoromethylene-5'-O-dimethoxytrityl-3'-O-trimethylsilylethoxymethyluridine and 3'-deoxy-3'-difluoromethylene-5'-O-dimethoxytrityl-2'-O-trimethylsilylethoxymethyluridine with tetrabutylammonium fluoride, resulted in fluorination at the unsatd. difluoromethylene carbon with loss of the trimethylsilylethoxymethyl group and formation of 2',3'-didehydro-2',3'-dideoxy-5'-O-dimethoxytrityl-2'-

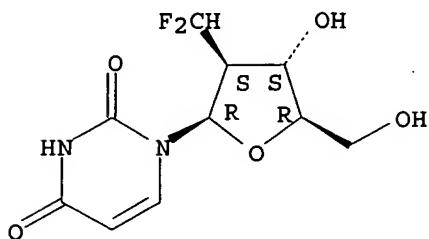
trifluoromethyluridine and 2',3'-didehydro-2',3'-dideoxy-5'-O-dimethoxytrityl-3'-trifluoromethyluridine, resp.

IT 349654-62-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of fluoroalkyl substituted nucleosides and nucleotides by fluorination, or hydrogenation, detritylation and phosphitylation)

RN 349654-62-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-2-(difluoromethyl)- $\beta$ -D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



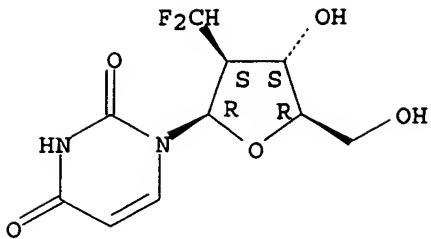
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 20 May 2001  
 ACCESSION NUMBER: 2001:362036 CAPLUS  
 DOCUMENT NUMBER: 135:107541  
 TITLE: Synthesis of 3'-deoxy-3'-difluoromethyluridine and 2'-deoxy-2'-difluoromethyluridine  
 AUTHOR(S): Marcotte, Stephane; Gerard, Baudoin; Pannecoucke, Xavier; Feasson, Christian; Quirion, Jean-Charles  
 CORPORATE SOURCE: Laboratoire d'Heterochimie Organique associe au CNRS, IRCOF, INSA et Universite de Rouen, Mont Saint-Aignan, 76821, Fr.  
 SOURCE: Synthesis (2001), (6), 929-933  
 PUBLISHER: Georg Thieme Verlag  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 135:107541

AB The synthesis of 3'-deoxy-3'-difluoromethyluridine and 2'-deoxy-2'-difluoromethyluridine by hydrogenation of the corresponding difluoromethylene derivs. is described. A second synthesis of the latter has been performed. Starting from thymidine, a two-step procedure affords the benzylated furanoid glycal. Addition of dibromodifluoromethane gives the  $\alpha$ -2'-deoxy-2'-bromodifluoromethylarabinose. This compound allowed an access to  $\alpha$ - or  $\beta$ -2'-deoxy-2'-difluoromethyluridine via a SN2 type reaction on a  $\alpha$ -halodeoxyarabinose species.

IT 349654-62-2P 349654-68-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (synthesis of 3-deoxy-3'-difluoromethyluridine and 2'-deoxy-2'-difluoromethyluridine)  
 RN 349654-62-2 CAPLUS  
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-2-(difluoromethyl)- $\beta$ -D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

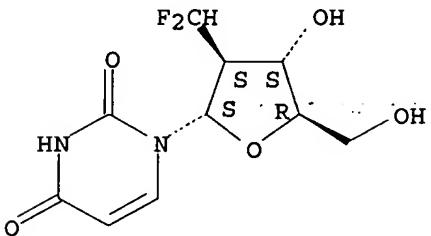
Absolute stereochemistry. Rotation (+).



RN 349654-68-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-2-(difluoromethyl)-alpha-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 08 Mar 2001

ACCESSION NUMBER: 2001:162325 CAPLUS

DOCUMENT NUMBER: 134:296038

TITLE: 2'-C-Branched Ribonucleosides. 2. Synthesis of 2'-C- $\beta$ -Trifluoromethyl Pyrimidine Ribonucleosides

AUTHOR(S): Li, Nan-Sheng; Tang, Xiao-Qing; Piccirilli, Joseph A.

CORPORATE SOURCE: Department of Biochemistry and Molecular Biology and Department of Chemistry, The University of Chicago Howard Hughes Medical Institute, Chicago, IL, 60637, USA

SOURCE: Organic Letters (2001), 3(7), 1025-1028

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:296038

AB The first synthesis of 2'-C- $\beta$ -trifluoromethyl pyrimidine ribonucleosides is described. 1,2,3,5-Tetra-O-benzoyl-2-C- $\beta$ -trifluoromethyl- $\alpha$ -D-ribofuranose is prepared from 1,3,5-tri-O-benzoyl- $\alpha$ -D-ribofuranose in three steps and converted to 3,5-di-O-benzoyl-2-C- $\beta$ -trifluoromethyl- $\alpha$ -D-1-ribofuranosyl bromide (I). The 1-bromo derivative I is found to be a powerful reaction intermediate for the synthesis of ribonucleosides. The reaction of silylated pyrimidines with I in the presence of HgO/HgBr<sub>2</sub> affords exclusively the  $\beta$ -anomers, which after deprotection with ammonia in methanol yields the 2'-C- $\beta$ -trifluoromethyl nucleosides.

IT 333996-73-9P 333996-74-0P 333996-75-1P

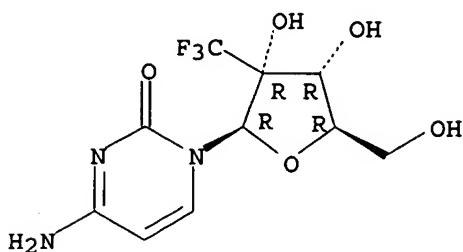
RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of 2'-C-branched trifluoromethyl pyrimidine ribonucleosides)

RN 333996-73-9 CAPLUS

CN Cytidine, 2'-C-(trifluoromethyl)- (9CI) (CA INDEX NAME)

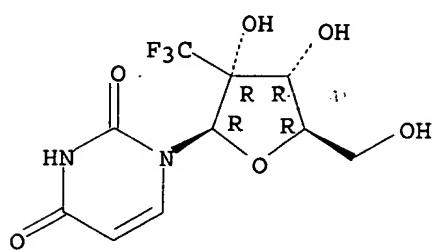
Absolute stereochemistry.



RN 333996-74-0 CAPLUS

CN Uridine, 2'-C-(trifluoromethyl)- (9CI) (CA INDEX NAME)

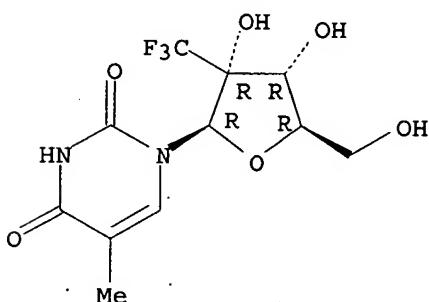
Absolute stereochemistry.



RN 333996-75-1 CAPLUS

CN Uridine, 5-methyl-2'-C-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

37

THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 08 Nov 1994

ACCESSION NUMBER: 1995:128314 CAPLUS

DOCUMENT NUMBER: 122:10468

TITLE: Preparation of 2'-deoxy-2'- (S)-substituted alkylcytidines as anticancer agents

INVENTOR(S): Yoshimura, Juichi; Saito, Kazuko; Ashida, Noryuki; Matsuda, Akira

PATENT ASSIGNEE(S): Yamasa Shoyu Kk, Japan; Yoshitomi Pharmaceutical Industries, Ltd.

SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06211890	A2	19940802	JP 1993-3532	19930112
PRIORITY APPLN. INFO.:			JP 1993-3532	19930112
OTHER SOURCE(S): GI	MARPAT	122:10468		

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I ( $R_1 = OH, NH_2$ ;  $R_2 = OH, acyloxy, halo; R_3 = H$ , phosphate residue) or their salts are prepared by epoxidn. of II ( $R_1 = same as above$ ;  $Z = protecting group$ ) with S ylides via III ( $R_1, Z = same as above$ ) and IV ( $R_1, R_2, Z = same as above$ ). IV ( $R_1 = OH, R_2 = F, Z = trityl$ ) was deprotected and treated with 1,3-dichloro-1,1,3,3-tetraisopropylidisiloxane in pyridine at room temperature overnight to give 59% 3',5'-di-O-tetraisopropylidisiloxy-2'-fluoromethyl derivative. The product was treated with methyloxalyl chloride and 4-dimethylaminopyridine in  $CH_2Cl_2$  at room temperature overnight and the resulting crude product was refluxed with tributyltin hydride and AIBN in MePh for 2 h to afford tetraisopropylidisiloxy-protected I ( $R_1 = OH, R_2 = F$ ) (V). Amination and deprotection of V gave I ( $R_1 = NH_2, R_2 = F, R_3 = H$ ), which inhibited cell growth of human leukemia cell at ID<sub>50</sub> 0.030  $\mu g/mL$ .

IT 152502-85-7P

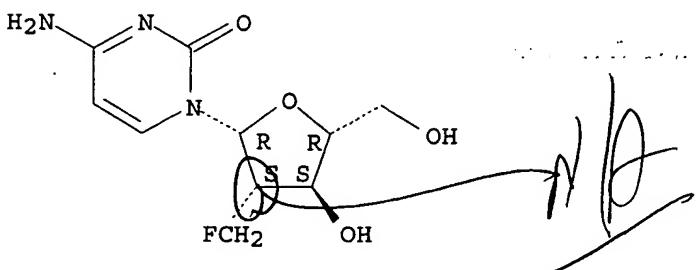
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of anticancer 2'-deoxy-2'-(S)-alkylcytidines by epoxidn. of protected ketouridines)

RN 152502-85-7 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[2-deoxy-2-(fluoromethyl)-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 08 Nov 1994

ACCESSION NUMBER: 1995:66277 CAPLUS

DOCUMENT NUMBER: 122:56380

TITLE: The effects of 2'- and 3'-alkyl substituents on oligonucleotide hybridization and stability

AUTHOR(S): Schmit, Chantal; Bevierre, Marc-Olivier; De Mesmaeker, Alain; Altmann, Karl-Heinz

CORPORATE SOURCE: Cent. Res. Lab., CIBA, Basel, CH-4002, Switz.

SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(16), 1969-74

CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB The hybridization properties and nuclease resistance of 2'- and 3'-alkyl, -heteroalkyl, -alkenyl, and -aryl substituted oligodeoxyribonucleotides have been investigated. While such modified oligonucleotides generally exhibit reduced binding affinity for complementary RNA and DNA, a dramatic increase in stability against 3'-exonucleases was observed for certain 2'-substituents.

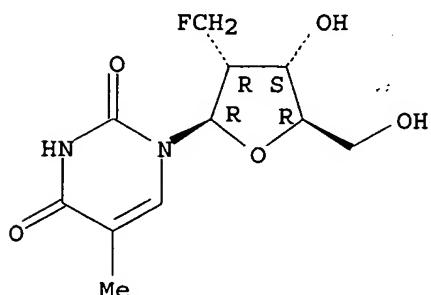
IT 159312-36-4 159312-37-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation, hybridization, and exonuclease stability of  
oligodeoxyribonucleotides)

RN 159312-36-4 CAPLUS

CN Uridine, 2'-deoxy-2'-(fluoromethyl)-5-methyl- (9CI) (CA INDEX NAME)

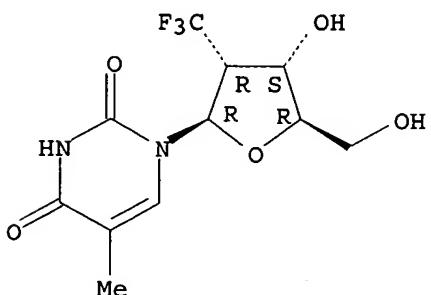
Absolute stereochemistry.



RN 159312-37-5 CAPLUS

CN Uridine, 2'-deoxy-5-methyl-2'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 06 Aug 1994

ACCESSION NUMBER: 1994:449688 CAPLUS

DOCUMENT NUMBER: 121:49688

TITLE: Synthesis of 1-(2-deoxy-2-C-fluoromethyl- $\beta$ -D-arabinofuranosyl)cytosine as a potential antineoplastic agent

AUTHOR(S): Yoshimura, Yuichi; Saitoh, Kazuko; Ashida, Noriyuki;  
Sakata, Shinji

CORPORATE SOURCE: Res. Dev. Div., Yamasa Corp., Choshi, 288, Japan

SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(5),

721-4

CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 2'- $\beta$ -Spiroepoxyuridine was obtained from the reaction between 2'-ketouridine and dimethylsulfoxonium methylide. The oxirane ring was cleaved by KFHF and the resulting tertiary hydroxyl group was removed by radical deoxygenation using the t-Me oxalyl-tributyltin hydride system to give 2-deoxy-2-C-fluoromethyl-1- $\beta$ -D-arabinofuranosyluracil derivative. Finally, the uracil moiety was converted to a cytosine counterpart, followed by deprotection to yield the title compound.

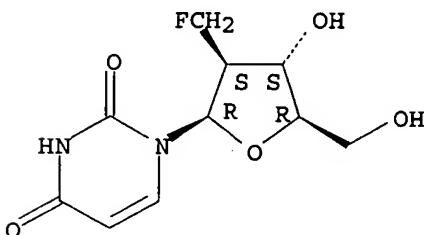
IT 156179-26-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and antitumor activity of)

RN 156179-26-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-2-(fluoromethyl)- $\beta$ -D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 05 Mar 1994

ACCESSION NUMBER: 1994:94931 CAPLUS

DOCUMENT NUMBER: 120:94931

TITLE: Synthesis and biological activity of 1-(2-deoxy-2-C-fluoromethyl- and 2-C-hydroxymethylarabinofuranosyl)-cytosines

AUTHOR(S): Yoshimura, Yuichi; Saitoh, Kazuko; Ashida, Noriyuki; Sakata, Shinji; Sasaki, Takuma; Matsuda, Akira

CORPORATE SOURCE: Res. Dev. Div., Yamasa Corp., Choshi, 288, Japan  
SOURCE: Nucleic Acids Symposium Series (1993), 29(Second International Symposium on Nucleic Acids Chemistry), 33-4

DOCUMENT TYPE: CODEN: NACSD8; ISSN: 0261-3166

LANGUAGE: English

AB The authors newly synthesized 1-(2-deoxy-2-C-fluoromethyl- and 2-C-hydroxymethylarabinofuranosyl) cytosines and evaluated their biol. activities. The syntheses of these compds. were achieved by radical deoxygenation of tert-alc. of 2'-position of the corresponding fluorohydrine and acetoxyethyl derivative 1-(2-Deoxy-2-C-fluoromethylarabinofuranosyl)cytosine showed potent antileukemic and anticytomegalovirus activities.

IT 152502-85-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and antileukemic and virucidal activity of)

RN 152502-85-7 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[2-deoxy-2-(fluoromethyl)- $\beta$ -D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

